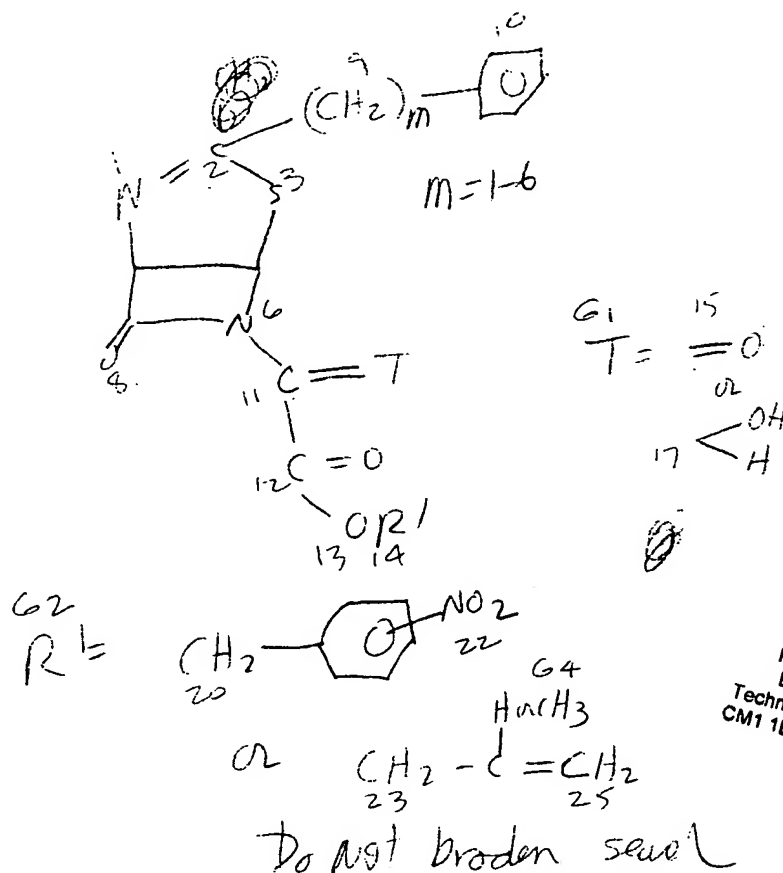


Date: 10/3 Phone: 4715 Art Unit: 162V

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).



Point of Contact:  
Beverly Shears  
Technical Info. Specialist  
CM1 1E05 Tel: 308-4994

Date completed: 10.03.02  
 Searcher: Beverly 24994  
 Terminal time: 18  
 Elapsed time: \_\_\_\_\_  
 CPU time: \_\_\_\_\_  
 Total time: 30  
 Number of Searches: \_\_\_\_\_  
 Number of Databases: 1

STIC  
CM-1  
Pre-S

\_\_\_\_\_ N.A. Sequence  
\_\_\_\_\_ A.A. Sequence  
\_\_\_\_\_ Structure  
\_\_\_\_\_ Bibliographic

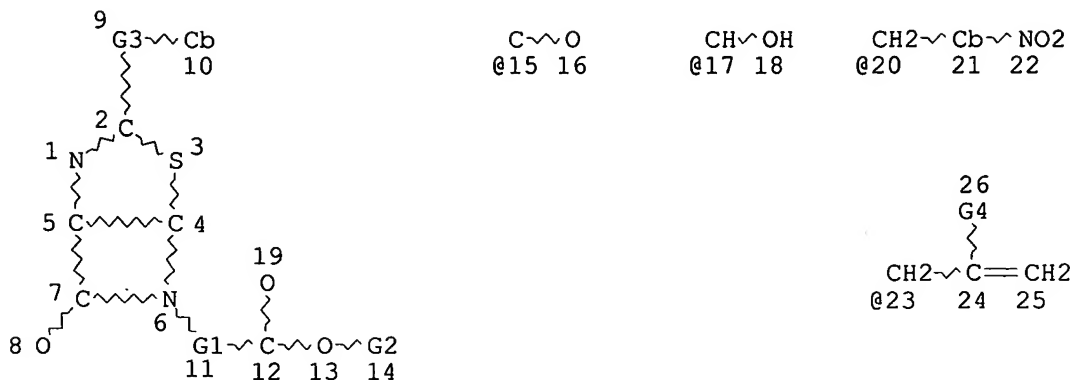
☐ IG  
☒ STN  
☐ Dialog  
☐ APS  
☐ Geninfo  
☐ SDC  
☐ DARC/Questel  
☐ Other

Berch  
10/006579

10/006579

((FILE 'REGISTRY' ENTERED AT 15:01:11 ON 03 OCT 2002)

L1 STR



VAR G1=15/17  
VAR G2=20/23  
REP G3=(1-6) CH2  
VAR G4=H/CH3  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 10  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

L3 3 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 7 ITERATIONS  
SEARCH TIME: 00.00.03

3 ANSWERS

FILE 'HCAPLUS' ENTERED AT 15:08:51 ON 03 OCT 2002

L4 2 S L3

L4 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:449689 HCAPLUS

DOCUMENT NUMBER: 137:33162

TITLE: Process for the preparation of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compounds via an intramolecular Wittig reaction

INVENTOR(S): Colberg, Juan Carlos; Tucker, John Lloyd; Zenoni, Maurizio; Fogliato, Giovanni; Donadelli, Alessandro

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

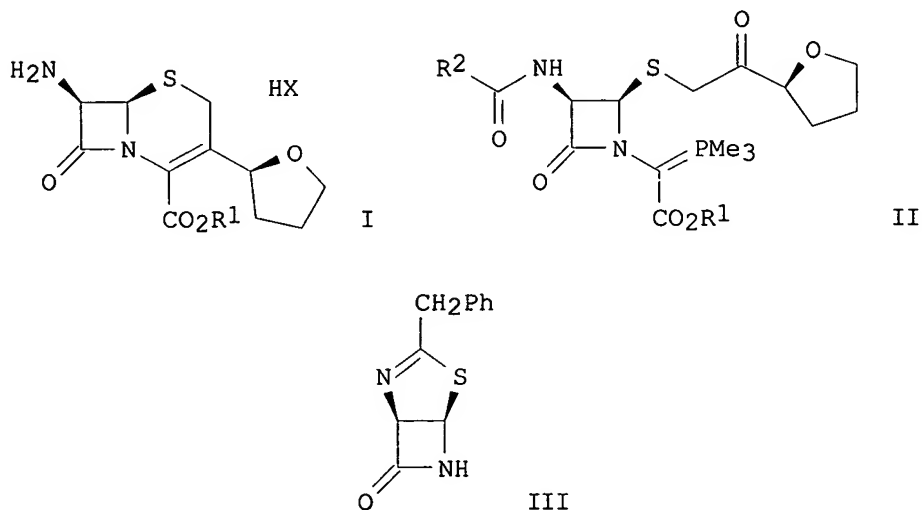
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Searcher : Shears 308-4994

10/006579

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046199	A1	20020613	WO 2001-IB2181	20011119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002023929	A5	20020618	AU 2002-23929	20011119
US 2002099205	A1	20020725	US 2001-6579	20011204
PRIORITY APPLN. INFO.:			US 2000-251018P	P 20001204
			WO 2001-IB2181	W 20011119
OTHER SOURCE(S):			CASREACT 137:33162; MARPAT 137:33162	
GI				



AB A process for the prepn. of I (R1 = p-nitrobenzyl, allyl; X = halo) via an intramol. Wittig reaction of II (R1 = p-nitrobenzyl, allyl; R2 = C1-6-alkyl, C6-10-aryl, C6-10-aryl-C1-6-alkyl, dithianyl) to prep. 3-cyclic-ether substituted derivs. of cephalosporins is described. Thus, III was treated with p-nitrobenzyl glyoxylate monohydrate followed by redn. of the intermediate with NaBH<sub>4</sub>. The resulting hydroxy compd. was treated with p-toluenesulfonic acid followed by addn. of (S)-1-(tetrahydro-2-furanyl)ethanone, addn. of thionyl chloride, and finally trimethylphosphine to give the desired intermediate II (R1 = p-nitrobenzyl, R2 = PhCH<sub>2</sub>). Cyclization of II via an intramol. Wittig reaction was accomplished by refluxing for 16 h in THF. Addn. of phosphorus pentachloride and .alpha.-picoline in dichloromethane gave the free amine of I (R1 = p-nitrobenzyl).

IT 436100-73-1P 436100-78-6P 436800-40-7P  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic)

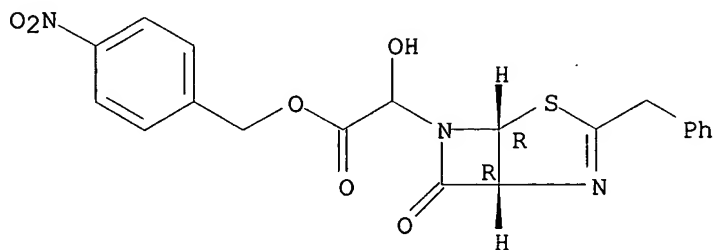
10/006579

preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

RN 436100-73-1 HCAPLUS

CN 4-Thia-2,6-diazabicyclo[3.2.0]hept-2-ene-6-acetic acid,  
.alpha.-hydroxy-7-oxo-3-(phenylmethyl)-, (4-nitrophenyl)methyl  
ester, (1R,5R)- (9CI) (CA INDEX NAME)

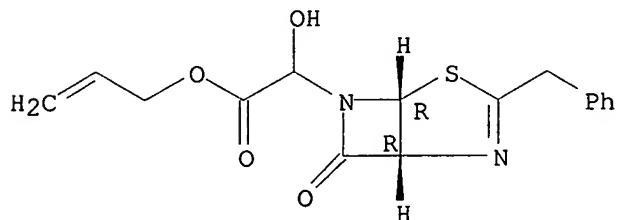
Absolute stereochemistry.



RN 436100-78-6 HCAPLUS

CN 4-Thia-2,6-diazabicyclo[3.2.0]hept-2-ene-6-acetic acid,  
.alpha.-hydroxy-7-oxo-3-(phenylmethyl)-, 2-propenyl ester, (1R,5R)-  
(9CI) (CA INDEX NAME)

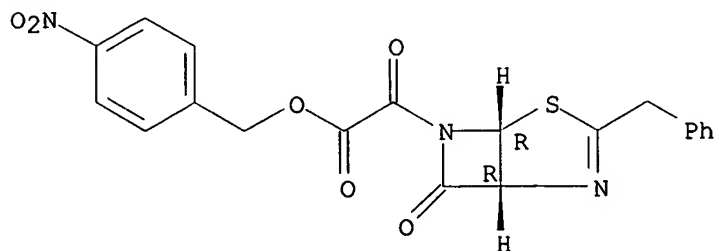
Absolute stereochemistry.



RN 436800-40-7 HCAPLUS

CN 4-Thia-2,6-diazabicyclo[3.2.0]hept-2-ene-6-acetic acid,  
.alpha.,7-dioxo-3-(phenylmethyl)-, (4-nitrophenyl)methyl ester,  
(1R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR

Searcher : Shears 308-4994

10/006579

THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L4 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2002:449688 HCAPLUS  
DOCUMENT NUMBER: 137:33161  
TITLE: Coupling process and intermediates useful for  
preparing cephalosporins  
INVENTOR(S): Colberg, Juan Carlos; Donadelli, Alessandro;  
Fogliato, Giovanni; Zenoni, Maurizio  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: PCT Int. Appl., 33 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046198	A1	20020613	WO 2001-IB2225	20011122
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002023943	A5	20020618	AU 2002-23943	20011122
PRIORITY APPLN. INFO.:			US 2000-251014P P	20001204
			WO 2001-IB2225 W	20011122
OTHER SOURCE(S):	MARPAT 137:33161			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB This invention relates to a novel process for the prepn. of 3-cyclic-ether-substituted cephalosporins, such as I [CO2R1 =carboxylic acid or a carboxylate salt; A1 = aryl, heteroaryl, heterocyclyl; A2 = H, alkyl, cycloalkyl, aryl, etc.], via amidation reactions. Thus, cephalosporin II was prepd. in 80% yield by amidation of amine III with the acid anhydride of acid IV using O,O-di-Et hydrogenphosphorothioate in a Me2CO/H2O soln.

IT 436100-73-1P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the prepn. of intermediates via amidation which are useful for prepg. cephalosporins)

RN 436100-73-1 HCAPLUS

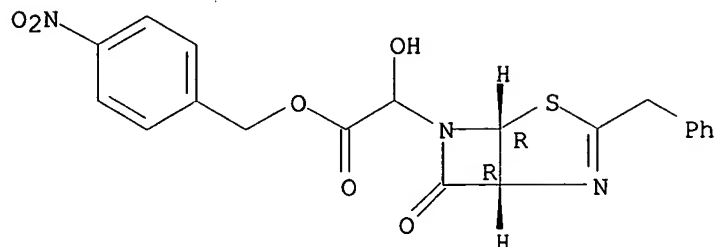
CN 4-Thia-2,6-diazabicyclo[3.2.0]hept-2-ene-6-acetic acid, .alpha.-hydroxy-7-oxo-3-(phenylmethyl)-, (4-nitrophenyl)methyl

Searcher : Shears 308-4994

10/006579

ester, (1R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 436100-78-6

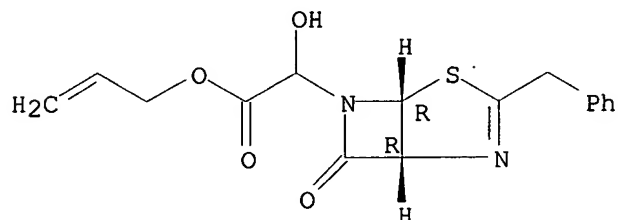
RL: RCT (Reactant); RACT (Reactant or reagent)

(process for the prepn. of intermediates via amidation which are useful for prepg. cephalosporins)

RN 436100-78-6 HCAPLUS

CN 4-Thia-2,6-diazabicyclo[3.2.0]hept-2-ene-6-acetic acid, .alpha.-hydroxy-7-oxo-3-(phenylmethyl)-, 2-propenyl ester, (1R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'CAOLD' ENTERED AT 15:09:16 ON 03 OCT 2002

L5 0 S L3

FILE 'USPATFULL' ENTERED AT 15:09:24 ON 03 OCT 2002

L6 1 S L3

L6 ANSWER 1 OF 1 USPATFULL

ACCESSION NUMBER: 2002:186282 USPATFULL

TITLE: Process and ester derivatives useful for preparation of cephalosporins

INVENTOR(S): Colberg, Juan C., Norwich, CT, UNITED STATES  
Tucker, John L., Niantic, CT, UNITED STATES  
Zenoni, Maurizio, Milan, ITALY  
Fogliato, Giovanni, Bergamo, ITALY  
Donadelli, Alessandro, Lodi, ITALY

PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

NUMBER KIND DATE

Searcher : Shears 308-4994

10/006579

PATENT INFORMATION: US 2002099205 A1 20020725  
APPLICATION INFO.: US 2001-6579 A1 20011204 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-251018P	20001204 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	39	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1433	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

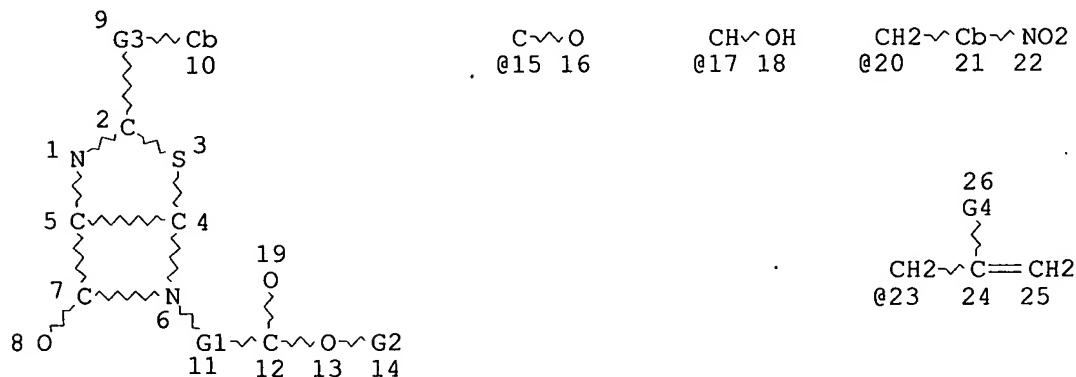
AB This invention relates a process for preparing a compound of  
formula (I) ##STR1##

wherein R.sup.1 is para-nitrobenzyl or allyl; and X is halo, which  
is useful to prepare 3-cyclic-ether-substituted cephalosporins,  
from trimethylphosphinic compounds. This invention also relates to  
compounds useful in such process.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

(FILE 'MARPAT' ENTERED AT 15:09:42 ON 03 OCT 2002)

L1 -STR-



VAR G1=15/17  
VAR G2=20/23  
REP G3=(1-6) CH2  
VAR G4=H/CH3  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 10  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 26

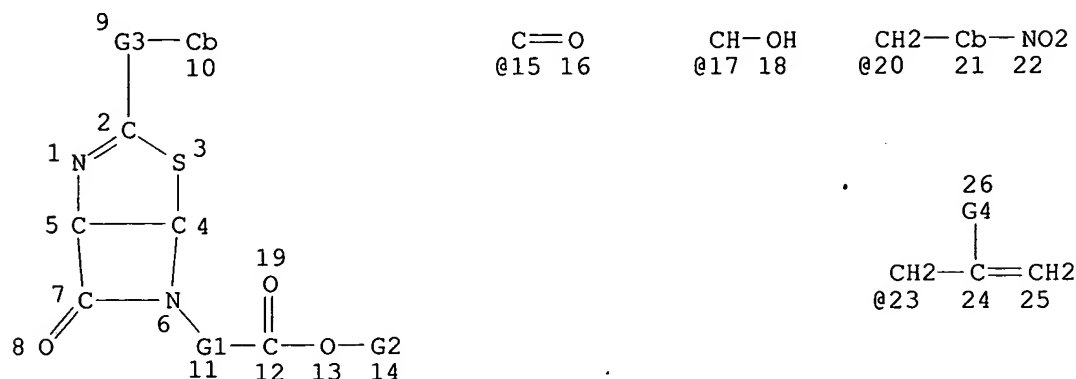
STEREO ATTRIBUTES: NONE

Searcher : Shears 308-4994

10/006579

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
MLEVEL IS CLASS ON RING NODES AND RING GROUPS  
MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

L8 83 SEA FILE=MARPAT SSS FUL L1 (MODIFIED ATTRIBUTES)  
L9 STR



VAR G1=15/17  
VAR G2=20/23  
REP G3=(1-6) CH2  
VAR G4=H/CH3  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 10  
GGCAT IS UNS AT 21  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
MLEVEL IS CLASS ON RING NODES AND RING GROUPS  
MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

~~L10~~ 2 SEA FILE=MARPAT SUB=L8 SSS FUL L9 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 83 ITERATIONS 2 ANSWERS  
SEARCH TIME: 00.00.08

L10 ANSWER 1 OF 2 MARPAT COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 137:33162 MARPAT  
TITLE: Process for the preparation of p-nitrobenzyl or  
allyl esters of 3-cyclic-ether substituted  
cephalosporins from trimethylphosphinic  
compounds via an intramolecular Wittig reaction  
INVENTOR(S): Colberg, Juan Carlos; Tucker, John Lloyd;

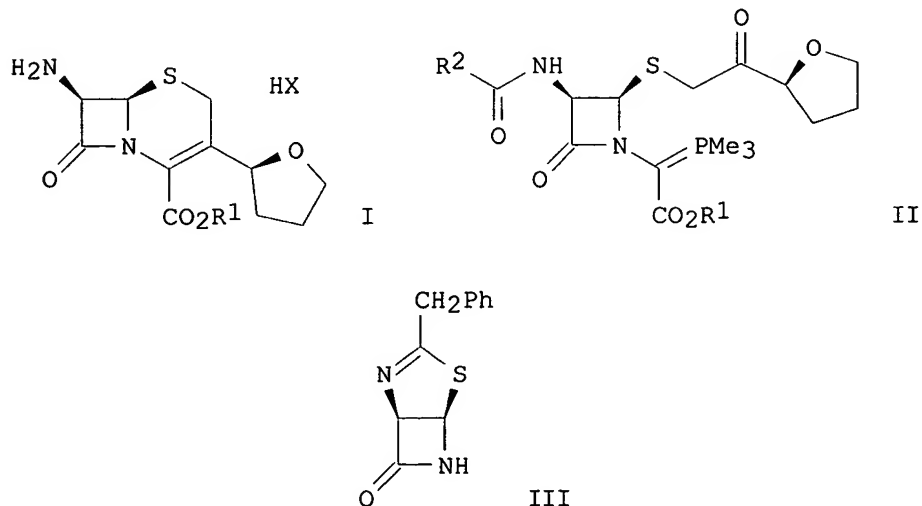
Searcher : Shears 308-4994

10/006579

Zenoni, Maurizio; Fogliato, Giovanni; Donadelli, Alessandro  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046199	A1	20020613	WO 2001-IB2181	20011119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002023929 A5 20020618 AU 2002-23929 20011119 US 2002099205 A1 20020725 US 2001-6579 20011204 PRIORITY APPLN. INFO.: US 2000-251018P 20001204 WO 2001-IB2181 20011119				

OTHER SOURCE(S): CASREACT 137:33162  
 GI



AB A process for the prepn. of I (R1 = p-nitrobenzyl, allyl; X = halo) via an intramol. Wittig reaction of II (R1 = p-nitrobenzyl, allyl; R2 = C1-6-alkyl, C6-10-aryl, C6-10-aryl-C1-6-alkyl, dithianyl) to prep. 3-cyclic-ether substituted derivs. of cephalosporins is described. Thus, III was treated with p-nitrobenzyl glyoxylate

Searcher : Shears 308-4994

monohydrate followed by redn. of the intermediate with NaBH<sub>4</sub>. The resulting hydroxy compd. was treated with p-toluenesulfonic acid followed by addn. of (S)-1-(tetrahydro-2-furanyl)ethanone, addn. of thionyl chloride, and finally trimethylphosphine to give the desired intermediate II (R<sub>1</sub> = p-nitrobenzyl, R<sub>2</sub> = PhCH<sub>2</sub>). Cyclization of II via an intramol. Wittig reaction was accomplished by refluxing for 16 h in THF. Addn. of phosphorus pentachloride and .alpha.-picoline in dichloromethane gave the free amine of I (R<sub>1</sub> = p-nitrobenzyl).

- IC ICM C07D501-08  
ICS C07D501-18; C07D501-20; C07D405-12; C07F009-568; C07D205-095;  
C07D513-04; C07D513-04; C07D277-00; C07D205-00
- CC 26-5 (Biomolecules and Their Synthetic Analogs)
- ST cephalosporin lactam antibiotic cyclic ether substituted prepn;  
Wittig reaction intramol cyclic ether cephalosporin prepn
- IT Wittig reaction  
(intramol.; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT Lactams  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(.beta.-; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT Antibiotics  
(.beta.-lactam; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT 676-96-0  
(prepn. of)
- IT 436100-73-1P 436100-74-2P 436100-75-3P 436100-76-4P  
436100-77-5P 436100-78-6P 436800-38-3P 436800-39-4P  
436800-40-7P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT 436100-68-4P 436800-42-9P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-64-1, Acetone, uses 68-12-2, DMF, uses 71-23-8, Propanol, uses 75-09-2, Methylene chloride, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT 79-37-8, Oxalyl chloride 594-09-2, Trimethylphosphine 619-73-8, 4-Nitrobenzylalcohol 34103-69-0 64370-42-9, Allyl glyoxylate 131328-27-3 141194-61-8 192049-49-3 436800-46-3 436801-05-7 436801-06-8 436801-07-9 436801-08-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from

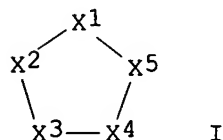
10/006579

trimethylphosphinic compds. via an intramol. Wittig reaction)  
IT 81779-73-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)  
(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)  
IT 108-48-5, 2,6-Lutidine 109-02-4, N-Methylmorpholine 110-86-1,  
Pyridine, reactions 288-32-4, Imidazole, reactions 507-16-4,  
Thionyl bromide 7719-09-7, Thionyl chloride 7719-12-2,  
Phosphorus trichloride 7789-60-8, Phosphorus tribromide  
RL: RGT (Reagent); RACT (Reactant or reagent)  
(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L10 ANSWER 2 OF 2 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 122:214087 MARPAT  
TITLE: 5-Member heterocyclic antithrombotics and blood  
platelet aggregation inhibitors  
INVENTOR(S): Linz, Guenter; Himmelsbach, Frank; Austel,  
Volkhard; Pieper, Helmut; Mueller, Thomas;  
Weisenberger, Johannes; Guth, Brian  
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany  
SOURCE: Ger. Offen., 35 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4302051	A1	19940728	DE 1993-4302051	19930126
CA 2114178	AA	19940727	CA 1994-2114178	19940125
NO 9400261	A	19940727	NO 1994-261	19940125
JP 07002851	A2	19950106	JP 1994-6295	19940125
CN 1097753	A	19950125	CN 1994-100575	19940125
ZA 9400495	A	19950725	ZA 1994-495	19940125
FI 9400378	A	19940727	FI 1994-378	19940126
EP 608858	A1	19940803	EP 1994-101125	19940126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9453984	A1	19940804	AU 1994-53984	19940127
PRIORITY APPLN. INFO.:			DE 1993-4302051	19930126
GI				



Searcher : Shears 308-4994

AB The title compds. [I; X1-X5 = C- or heteroatom-contg. (heteroatom) substituents], useful as antithrombotics and blood platelet aggregation inhibitors (no data), are prepd. and I-contg. formulations presented. Thus, 1-[6-(4-amidinophenyl)-3-pyridazinyl]-4-[2-(n-butanesulfonylamino)-2-carboxyethyl]imidazole hydrochloride was prepd. and demonstrated an ED50 of 40 nM in a collagen-induced blood platelet aggregation assay.

IC ICM C07D417-10  
ICS C07D401-10; C07D403-10; C07D413-10; C07D453-02; A61K031-41; A61K031-445; A61K031-495; C07D233-64; C07D277-22; C07D403-06; C07D417-12

ICI C07D417-10, C07D285-12; C07D277-42, C07D211-18; C07D401-10, C07D227-04; C07D247-00, C07D249-08

CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63

ST amidinophenylpyridazinylbutanesulfonylaminocarboxyethylimidazole prepn antithrombotic; platelet aggregation inhibitor prepn amidinophenylpyridazinylbutanesulfonylaminocarboxyethylimidazole; pyridazine prepn platelet aggregation inhibitor

IT Anticoagulants and Antithrombotics  
Blood platelet aggregation inhibitors  
(five-member heterocyclic compds.)

IT 92021-38-0P 149353-75-3P 149353-84-4P, 4-(4-Piperidinyl)benzoic acid hydrochloride 161975-19-5P 161975-20-8P 161975-21-9P  
161975-22-0P 161975-23-1P 161975-24-2P 161975-25-3P  
161975-26-4P 161975-27-5P 161975-28-6P 161975-29-7P  
161975-30-0P 161975-31-1P 161975-32-2P 161975-33-3P  
161975-34-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reaction of, in prepn. of heterocyclic antithrombotics and blood platelet aggregation inhibitors)

IT 161974-50-1P 161974-51-2P 161974-52-3P 161974-53-4P  
161974-54-5P 161974-55-6P 161974-56-7P 161974-57-8P  
161974-58-9P 161974-59-0P 161974-60-3P 161974-61-4P  
161974-62-5P 161974-63-6P 161974-64-7P 161974-65-8P  
161974-66-9P 161974-67-0P 161974-68-1P 161974-69-2P  
161974-70-5P 161974-71-6P 161974-72-7P 161974-73-8P  
161974-74-9P 161974-75-0P 161974-76-1P 161974-77-2P  
161974-78-3P 161974-79-4P 161974-80-7P 161974-81-8P  
161974-82-9P 161974-83-0P 161974-84-1P 161974-85-2P  
161974-86-3P 161974-87-4P 161974-88-5P 161974-89-6P  
161974-90-9P 161974-91-0P 161974-92-1P 161974-93-2P  
161974-94-3P 161974-95-4P 161974-96-5P 161974-97-6P  
161974-98-7P 161974-99-8P 161975-00-4P 161975-01-5P  
161975-02-6P 161975-03-7P 161975-04-8P 161975-05-9P  
161975-06-0P 161975-07-1P 161975-08-2P 161975-09-3P  
161975-10-6P 161975-11-7P 161975-12-8P 161975-13-9P  
161975-14-0P 161975-15-1P 161975-16-2P 161975-17-3P  
161975-18-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of, as antithrombotic and blood platelet aggregation inhibitor)

IT 62-53-3, Aniline, reactions 124-42-5, Acetamidine hydrochloride 2021-28-5, Ethyl 3-phenylpropionate 2488-14-4 3196-73-4, Methyl

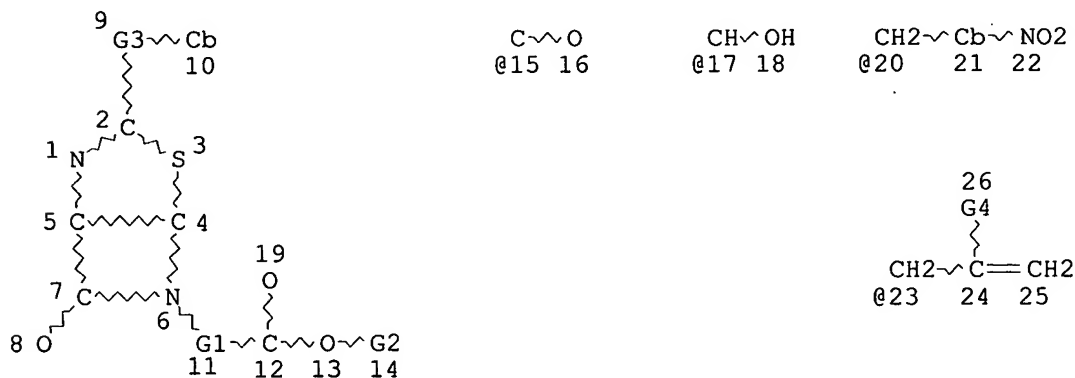
10/006579

.beta.-alaninate hydrochloride 5781-53-3, Methyl chlorooxoacetate  
17790-81-7, Methyl 4-bromo-3-oxobutanoate 19172-47-5, Lawesson's  
Reagent 24424-99-5 32245-87-7, 1-Acetyl-4-phenylpiperidine  
35444-44-1, Methyl 6-chloro-6-oxohexanoate 36218-60-7  
66548-54-7, 3-Chloro-6-(4-cyanophenyl)pyridazine 125700-67-6  
147699-19-2 161975-35-5 161975-38-8 161975-39-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in prepn. of heterocyclic antithrombotics and blood  
platelet aggregation inhibitors)

~~FILE~~ 'MARPATPREV' ENTERED AT 15:19:21 ON 03 OCT 2002

L1

STR



VAR G1=15/17

VAR G2=20/23

REP G3=(1-6) CH2

VAR G4=H/CH3

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 10

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

MLEVEL IS CLASS ON RING NODES AND RING GROUPS

MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

~~L11~~ ~~0=SEA~~ FILE=MARPATPREV SSS FUL L1 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 155 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.12

FILE 'HOME' ENTERED AT 15:19:54 ON 03 OCT 2002

Searcher : Shears 308-4994

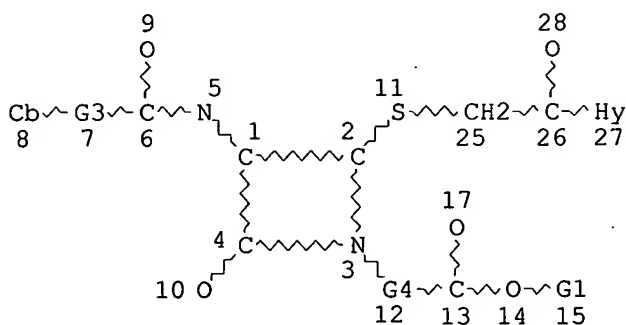
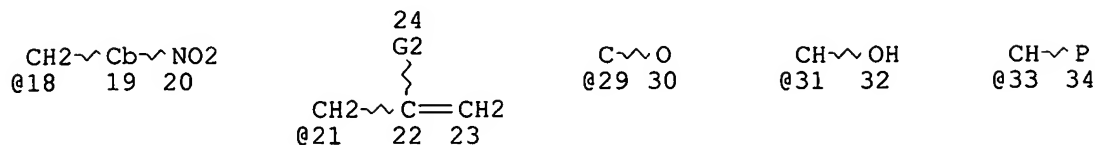
Berch  
10/006579

10/006579

~~FILE~~ 'REGISTRY' ENTERED AT 14:49:30 ON 03 OCT 2002)

L4

STR



VAR G1=18/21  
VAR G2=H/CH2  
REP G3=(1-6) C  
VAR G4=29/31/33  
NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 8  
GGCAT IS UNS AT 19  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS E4 C E1 O AT 27

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

L6 2 SEA FILE=REGISTRY SSS FUL L4

100.0% PROCESSED 60 ITERATIONS  
SEARCH TIME: 00.00.04

2 ANSWERS

FILE 'HCAPLUS' ENTERED AT 14:54:45 ON 03 OCT 2002

L7

2 S L6

L7 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:449689 HCAPLUS

DOCUMENT NUMBER: 137:33162

TITLE: Process for the preparation of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compounds via an intramolecular Wittig reaction

INVENTOR(S): Colberg, Juan Carlos; Tucker, John Lloyd; Zenoni, Maurizio; Fogliato, Giovanni; Donadelli, Alessandro

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

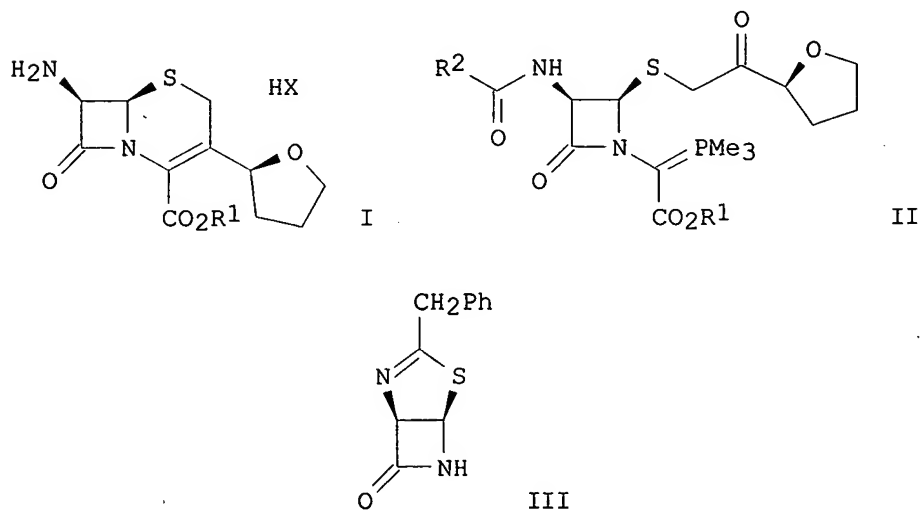
SOURCE: PCT Int. Appl., 47 pp.

Searcher : Shears 308-4994

10/006579

CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046199	A1	20020613	WO 2001-IB2181	20011119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002023929	A5	20020618	AU 2002-23929	20011119
US 2002099205	A1	20020725	US 2001-6579	20011204
PRIORITY APPLN. INFO.:			US 2000-251018P	P 20001204
			WO 2001-IB2181	W 20011119
OTHER SOURCE(S):			CASREACT 137:33162; MARPAT 137:33162	
GI				



AB A process for the prepn. of I (R1 = p-nitrobenzyl, allyl; X = halo) via an intramol. Wittig reaction of II (R1 = p-nitrobenzyl, allyl; R2 = C1-6-alkyl, C6-10-aryl, C6-10-aryl-C1-6-alkyl, dithianyl) to prep. 3-cyclic-ether substituted derivs. of cephalosporins is described. Thus, III was treated with p-nitrobenzyl glyoxylate monohydrate followed by redn. of the intermediate with NaBH4. The resulting hydroxy compd. was treated with p-toluenesulfonic acid

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followed by addn. of (S)-1-(tetrahydro-2-furanyl)ethanone, addn. of thionyl chloride, and finally trimethylphosphine to give the desired intermediate II (R1 = p-nitrobenzyl, R2 = PhCH2). Cyclization of II via an intramol. Wittig reaction was accomplished by refluxing for 16 h in THF. Addn. of phosphorus pentachloride and .alpha.-picoline in dichloromethane gave the free amine of I (R1 = p-nitrobenzyl).

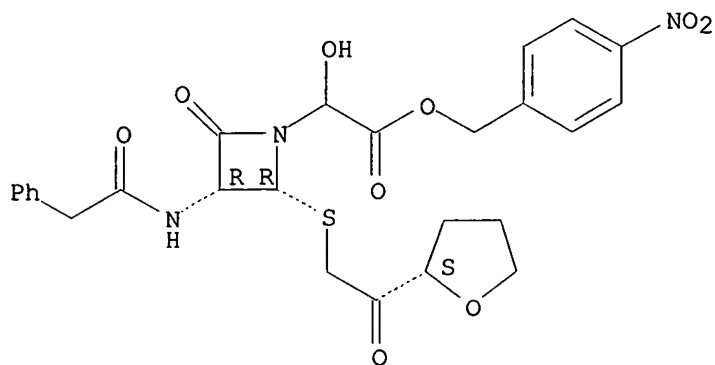
IT 436100-74-2P 436100-77-5P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

RN 436100-74-2 HCAPLUS

CN 1-Azetidineacetic acid, .alpha.-hydroxy-2-oxo-4-[[2-oxo-2-[(2S)-tetrahydro-2-furanyl]ethyl]thio]-3-[(phenylacetyl)amino]-, (4-nitrophenyl)methyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

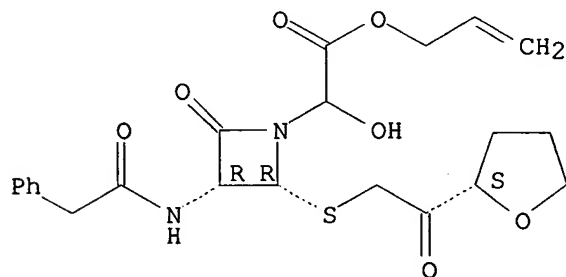
Absolute stereochemistry.



RN 436100-77-5 HCAPLUS

CN 1-Azetidineacetic acid, .alpha.-hydroxy-2-oxo-4-[[2-oxo-2-[(2S)-tetrahydro-2-furanyl]ethyl]thio]-3-[(phenylacetyl)amino]-, 2-propenyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2002 ACS

Searcher : Shears 308-4994

10/006579

ACCESSION NUMBER: 2002:449688 HCAPLUS  
DOCUMENT NUMBER: 137:33161  
TITLE: Coupling process and intermediates useful for  
preparing cephalosporins  
INVENTOR(S): Colberg, Juan Carlos; Donadelli, Alessandro;  
Fogliato, Giovanni; Zenoni, Maurizio  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: PCT Int. Appl., 33 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046198	A1	20020613	WO 2001-IB2225	20011122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002023943	A5	20020618	AU 2002-23943	20011122
PRIORITY APPLN. INFO.: US 2000-251014P P 20001204 WO 2001-IB2225 W 20011122				
OTHER SOURCE(S): MARPAT 137:33161 GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB This invention relates to a novel process for the prepn. of  
3-cyclic-ether-substituted cephalosporins, such as I [CO<sub>2</sub>R<sub>1</sub>  
=carboxylic acid or a carboxylate salt; A<sub>1</sub> = aryl, heteroaryl,  
heterocyclyl; A<sub>2</sub> = H, alkyl, cycloalkyl, aryl, etc.], via amidation  
reactions. Thus, cephalosporin II was prepd. in 80% yield by  
amidation of amine III with the acid anhydride of acid IV using  
O,O-di-Et hydrogenphosphorothioate in a Me<sub>2</sub>CO/H<sub>2</sub>O soln.

IT 436100-74-2P 436100-77-5P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic  
preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the prepn. of intermediates via amidation which are  
useful for prepg. cephalosporins)

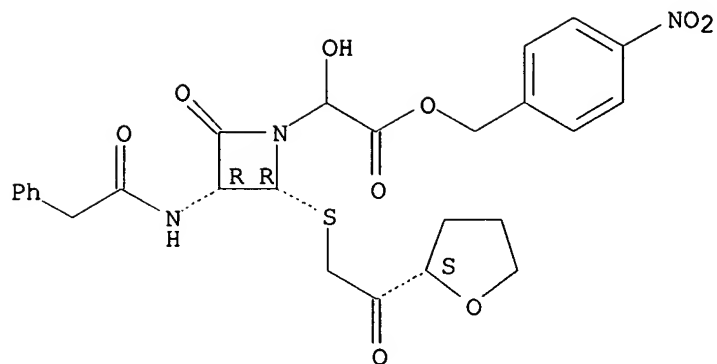
RN 436100-74-2 HCAPLUS

CN 1-Azetidineacetic acid, .alpha.-hydroxy-2-oxo-4-[[2-oxo-2-[(2S)-  
tetrahydro-2-furanyl]ethyl]thio]-3-[(phenylacetyl)amino]-,  
(4-nitrophenyl)methyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searcher : Shears 308-4994

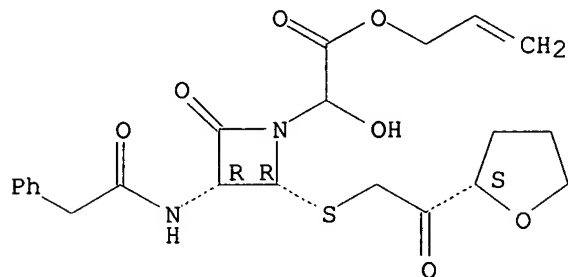
10/006579



RN 436100-77-5 HCAPLUS

CN 1-Azetidineacetic acid, .alpha.-hydroxy-2-oxo-4-[[2-oxo-2-[(2S)-tetrahydro-2-furanyl]ethyl]thio]-3-[(phenylacetyl)amino]-, 2-propenyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ~~FILE 'LCAOLD'~~ ENTERED AT 14:55:19 ON 03 OCT 2002  
0 S L6

L9 ~~FILE 'USPATFULL'~~ ENTERED AT 14:55:36 ON 03 OCT 2002  
1 S L6

L9 ANSWER 1 OF 1 USPATFULL

ACCESSION NUMBER: 2002:186282 USPATFULL

TITLE: Process and ester derivatives useful for preparation of cephalosporins

INVENTOR(S): Colberg, Juan C., Norwich, CT, UNITED STATES  
Tucker, John L., Niantic, CT, UNITED STATES  
Zenoni, Maurizio, Milan, ITALY  
Fogliato, Giovanni, Bergamo, ITALY  
Donadelli, Alessandro, Lodi, ITALY

PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002099205	A1	20020725

Searcher : Shears 308-4994

10/006579

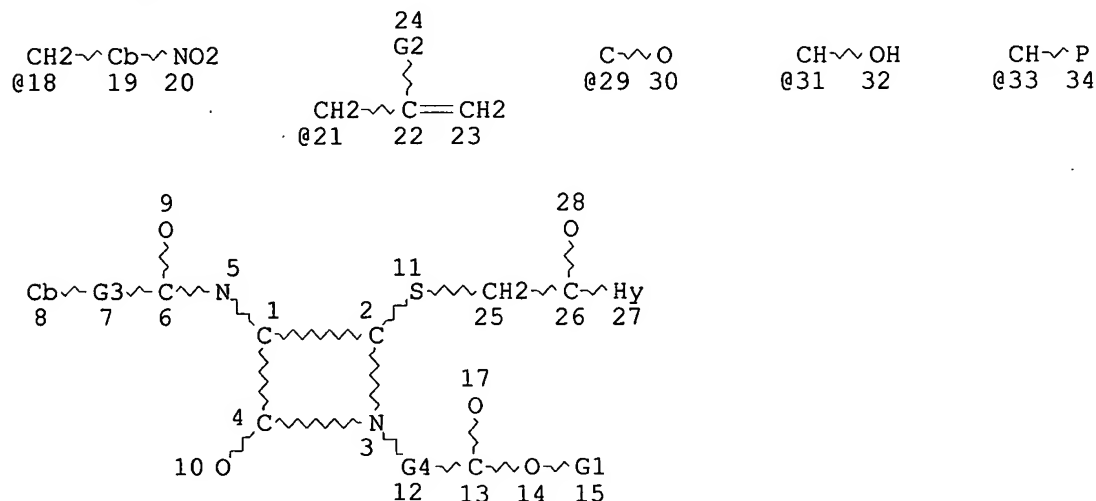
APPLICATION INFO.: US 2001-6579 A1 20011204 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-251018P	20001204 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	39	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1433	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	This invention relates a process for preparing a compound of formula (I) ##STR1##	

wherein R.sup.1 is para-nitrobenzyl or allyl; and X is halo, which is useful to prepare 3-cyclic-ether-substituted cephalosporins, from trimethylphosphinic compounds. This invention also relates to compounds useful in such process.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ~~SECRET~~ MARPAT' ENTERED AT 14:55:53 ON 03 OCT 2002) STR



```

VAR G1=18/21
VAR G2=H/CH2
REP G3=(1-6) C
VAR G4=29/31/33
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 8
GGCAT IS UNS AT 19
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E4 C E1 O AT 27

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GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED

Searcher : Shears 308-4994

10/006579

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

MLEVEL IS CLASS ON RING NODES AND RING GROUPS

MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

~~L11~~ 15 SEA FILE=MARPAT SSS FUL L4 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 21843 ITERATIONS (13 INCOMPLETE) 15 ANSWERS  
SEARCH TIME: 00.02.22

L11 ANSWER 1 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 137:119655 MARPAT

TITLE: Combinations of drugs (e.g., a benzimidazole and pentamidine) for the treatment of neoplastic disorders

INVENTOR(S): Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.

PATENT ASSIGNEE(S): Combinatorx Incorporated, USA

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058697	A1	20020801	WO 2002-US1707	20020122
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2001-768870 20010124

AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (i) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.

IC ICM A61K031-415

CC 1-6 (Pharmacology)

Section cross-reference(s): 63

ST antitumor agent benzimidazole pentamidine analog combination

IT Uterus, neoplasm  
(cervix; drug combinations for treatment of neoplastic disorders)

Searcher : Shears 308-4994

IT Intestine, neoplasm  
(colon; drug combinations for treatment of neoplastic disorders)

IT Intestine, neoplasm  
(colorectal; drug combinations for treatment of neoplastic disorders)

IT Antitumor agents  
Brain, neoplasm  
Kidney, neoplasm  
Leukemia  
Liver, neoplasm  
Lung, neoplasm  
Lymphoma  
Ovary, neoplasm  
Pancreas, neoplasm  
Sarcoma  
Skin, neoplasm  
Stomach, neoplasm  
Testis, neoplasm  
Uterus, neoplasm  
(drug combinations for treatment of neoplastic disorders)

IT Drug delivery systems  
(inhalants; drug combinations for treatment of neoplastic disorders)

IT Drug delivery systems  
(injections, i.m.; drug combinations for treatment of neoplastic disorders)

IT Drug delivery systems  
(injections, i.v.; drug combinations for treatment of neoplastic disorders)

IT Mammary gland  
Prostate gland  
(neoplasm; drug combinations for treatment of neoplastic disorders)

IT Drug delivery systems  
(oral; drug combinations for treatment of neoplastic disorders)

IT Drug delivery systems  
(rectal; drug combinations for treatment of neoplastic disorders)

IT 60-56-0, Mercazole 100-33-4, Pentamidine 101-62-2, Phenamidine 104-32-5, Propamidine 122-06-5, Stilbamidine 140-64-7, Pentamidine isethionate 495-99-8, Hydroxystilbamidine 496-00-4, Dibrompropamidine 536-71-0, Diminazene 548-73-2, Droperidol 618-39-3, Benzamidine 1402-38-6, Actinomycin 1438-30-8, Netropsin 1929-88-0, Benzthiazuron 2062-78-4, Pimozide 3459-96-9, Amicarbalide 6306-71-4, Lobendazole 11056-06-7, Bleomycin 14255-87-9, Parbendazole 17804-35-2, Benomyl 18691-97-9, Methabenzthiazuron 20559-55-1, Oxibendazole 20830-81-3, Daunorubicin 22769-68-2 24370-25-0, 2-Benzimidazolylurea 26097-80-3, Cambendazole 26130-02-9, Frentizole 31430-15-6, Flubendazole 31430-18-9, Nocodazole 31431-39-7, Mebendazole 31431-43-3, Cyclobendazole 33016-12-5, TN-16 39389-47-4, Distamycin 43210-67-9, Fenbendazole 53716-50-0, Oxfendazole 54029-12-8, Albendazole sulfoxide 54965-21-8, Albendazole 57808-66-9, Domperidone 61570-90-9, Tioxidazole 68844-77-9, Astemizole 73590-58-6, Omeprazole 75184-71-3, Albendazole sulfone 80434-77-1, NSC 181928 90509-02-7, Luxabendazole 94345-47-8, Heptamidine 116644-53-2, Mibefradil 124076-61-5, Butamidine 124076-65-9 161374-52-3, Nonamidine

10/006579

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(drug combinations for treatment of neoplastic disorders)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L11 ANSWER 2 OF 15 MARPAT COPYRIGHT 2002 ACS

ACCESSION NUMBER: 137:33162 MARPAT

TITLE: Process for the preparation of p-nitrobenzyl or  
allyl esters of 3-cyclic-ether substituted  
cephalosporins from trimethylphosphinic  
compounds via an intramolecular Wittig reaction  
INVENTOR(S): Colberg, Juan Carlos; Tucker, John Lloyd;  
Zenoni, Maurizio; Fogliato, Giovanni; Donadelli,  
Alessandro

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

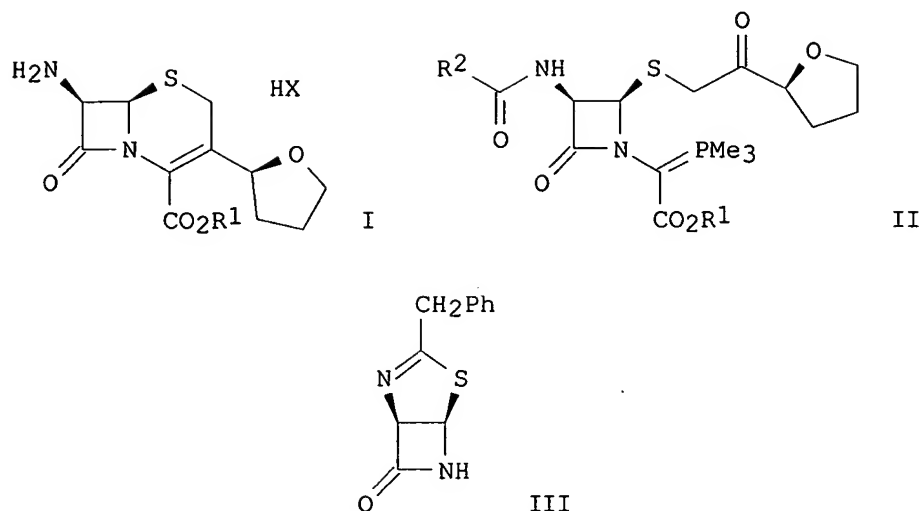
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046199	A1	20020613	WO 2001-IB2181	20011119
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002023929	A5	20020618	AU 2002-23929	20011119
US 2002099205	A1	20020725	US 2001-6579	20011204
PRIORITY APPLN. INFO.:			US 2000-251018P	20001204
			WO 2001-IB2181	20011119

OTHER SOURCE(S): CASREACT 137:33162

GI



- AB A process for the prepn. of I (R1 = p-nitrobenzyl, allyl; X = halo) via an intramol. Wittig reaction of II (R1 = p-nitrobenzyl, allyl; R2 = C1-6-alkyl, C6-10-aryl, C6-10-aryl-C1-6-alkyl, dithianyl) to prep. 3-cyclic-ether substituted derivs. of cephalosporins is described. Thus, III was treated with p-nitrobenzyl glyoxylate monohydrate followed by redn. of the intermediate with NaBH4. The resulting hydroxy compd. was treated with p-toluenesulfonic acid followed by addn. of (S)-1-(tetrahydro-2-furanyl)ethanone, addn. of thionyl chloride, and finally trimethylphosphine to give the desired intermediate II (R1 = p-nitrobenzyl, R2 = PhCH2). Cyclization of II via an intramol. Wittig reaction was accomplished by refluxing for 16 h in THF. Addn. of phosphorus pentachloride and .alpha.-picoline in dichloromethane gave the free amine of I (R1 = p-nitrobenzyl).
- IC ICM C07D501-08  
ICS C07D501-18; C07D501-20; C07D405-12; C07F009-568; C07D205-095; C07D513-04; C07D513-04; C07D277-00; C07D205-00
- CC 26-5 (Biomolecules and Their Synthetic Analogs)
- ST cephalosporin lactam antibiotic cyclic ether substituted prepn;  
Wittig reaction intramol cyclic ether cephalosporin prepn
- IT Wittig reaction  
(intramol.; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT Lactams  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(.beta.-; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT Antibiotics  
(.beta.-lactam; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)
- IT 676-96-0  
(prepn. of)
- IT 436100-73-1P 436100-74-2P 436100-75-3P 436100-76-4P

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436100-77-5P 436100-78-6P 436800-38-3P 436800-39-4P  
436800-40-7P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 436100-68-4P 436800-42-9P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-64-1, Acetone,  
uses 68-12-2, DMF, uses 71-23-8, Propanol, uses 75-09-2,  
Methylene chloride, uses

RL: NUU (Other use, unclassified); USES (Uses)

(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 79-37-8, Oxalyl chloride 594-09-2, Trimethylphosphine 619-73-8,  
4-Nitrobenzylalcohol 34103-69-0 64370-42-9, Allyl glyoxylate  
131328-27-3 141194-61-8 192049-49-3 436800-46-3 436801-05-7  
436801-06-8 436801-07-9 436801-08-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 81779-73-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)

(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 108-48-5, 2,6-Lutidine 109-02-4, N-Methylmorpholine 110-86-1,  
Pyridine, reactions 288-32-4, Imidazole, reactions 507-16-4,  
Thionyl bromide 7719-09-7, Thionyl chloride 7719-12-2,  
Phosphorus trichloride 7789-60-8, Phosphorus tribromide

RL: RGT (Reagent); RACT (Reactant or reagent)

(process for the prepn. of p-nitrobenzyl or allyl esters of  
3-cyclic-ether substituted cephalosporins from  
trimethylphosphinic compds. via an intramol. Wittig reaction)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L11 ANSWER 3 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 134:295744 MARPAT

TITLE: Substituted 2-thio-3,5-dicyano-4-aryl-6-  
aminopyridines and the use thereof as adenosine  
receptor ligands

INVENTOR(S): Rosentreter, Ulrich; Henning, Rolf; Bauser,  
Marcus; Kraemer, Thomas; Vaupel, Andrea;  
Huebsch, Walter; Dembowsky, Klaus;  
Salcher-Schraufstaetter, Olga; Stasch,  
Johannes-Peter; Krahn, Thomas; Perzborn,  
Elisabeth

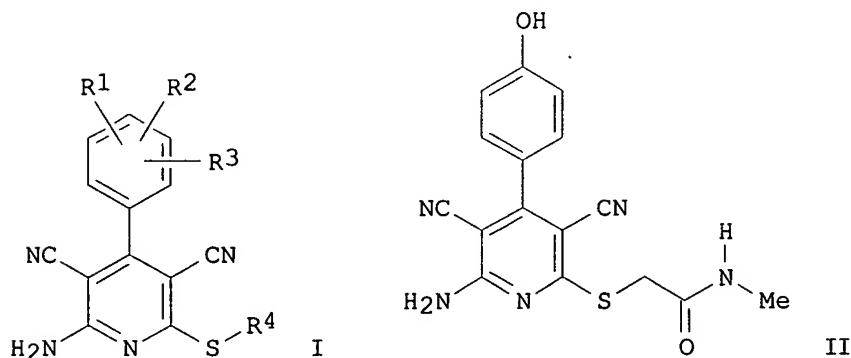
Searcher : Shears 308-4994

10/006579

PATENT ASSIGNEE(S): Bayer A.-G., Germany  
 SOURCE: PCT Int. Appl., 316 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025210	A2	20010412	WO 2000-EP9153	20000919
WO 2001025210	A3	20011011		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19947154	A1	20011004	DE 1999-19947154	19991001
BR 2000014679	A	20020702	BR 2000-14679	20000919
EP 1240145	A2	20020918	EP 2000-967705	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2002001449	A	20020507	NO 2002-1449	20020322
PRIORITY APPLN. INFO.:				
			DE 1999-19947154	19991001
			WO 2000-EP9153	20000919

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AB The invention relates to compds. I, a method for their prodn., and their use as pharmacol. effective substances for a broad spectrum of medical indications [wherein: R1, R2, R3 = H, OH, (un)substituted alkyl, aryl, alkoxy, O(CH2)0-2CH:CH2, halo, NO2, cyano, COR5, CONR6R7, NR6R7, etc.; R4 = (un)substituted alkyl or alkenyl, or 5- to 7-membered (un)satd. NOS heterocyclyl; R5 = H, OH, (un)substituted alkyl, cycloalkyl, alkoxy, aryl, aryloxy, aralkoxy,

5- to 7-membered (un)satd. heterocyclyl, or 5- to 6-membered NOS heteroaryl; R6, R7 = H, (un)substituted alkyl, aryl, or 5- to 6-membered NOS heteroaryl; or NR6R7 = 5- to 7-membered (un)satd. NOS heterocyclyl; including tautomers, salts, hydrates, and alcoholates; with many specific exclusions]. In particular, selective adenosine receptor ligands are provided, preferably selective adenosine A1, adenosine A2a, and/or adenosine A2b receptor ligands. The compds. are useful for the prophylaxis and/or the treatment of diseases, esp. cardiovascular diseases, diseases of the urogenital region, diseases of the respiratory tract, inflammatory and neuroinflammatory diseases, diabetes, esp. pancreatic diabetes, neurodegenerative diseases, pain states, and cancer, as well as liver fibrosis and cirrhosis. Over 400 compds. were synthesized on a preparative scale, and 375 addnl. compds. were prepd. on a 10-.mu.mol scale. For instance, title compd. II was prepd. in 66.3% yield by thioetherification of the corresponding pyridinethiol with MeNHCOCH2Br using NaHCO3 in DMF at room temp. II had a marked agonist activity on cells expressing human adenosine A2b receptors, and nearly no activity against cells expressing A2a receptors. Compds. I also selectively reduced coronary perfusion pressure in narcotized rats at concns. of 10-7 to 10-6 g/mL.

- IC ICM C07D213-85  
ICS A61K031-4418; A61K031-4427; C07D401-12; C07D405-12; C07D409-12;  
C07D413-12; C07D417-12
- CC 27-16 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1
- ST thiodicyanoarylaminopyridine prepn adenosine receptor agonist  
cardiovascular agent; pyridine thiodicyanoaryl amino prepn coronary  
vasodilator antihypertensive
- IT Purinoceptor agonists  
(A1; prepn. of substituted thiodicyanoarylaminopyridines as  
adenosine receptor agonists)
- IT Adenosine receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(A1; prepn. of substituted thiodicyanoarylaminopyridines as  
adenosine receptor agonists)
- IT Purinoceptor agonists  
(A2b; prepn. of substituted thiodicyanoarylaminopyridines as  
adenosine receptor agonists)
- IT Adenosine receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(A2b; prepn. of substituted thiodicyanoarylaminopyridines as  
adenosine receptor agonists)
- IT Adenosine receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(A2a; prepn. of substituted thiodicyanoarylaminopyridines as  
adenosine receptor agonists)
- IT Vasodilators  
(coronary; prepn. of substituted thiodicyanoarylaminopyridines as  
adenosine receptor agonists)
- IT Nervous system  
(degeneration, treatment; prepn. of substituted  
thiodicyanoarylaminopyridines as adenosine receptor agonists)
- IT Respiratory tract  
(disease, treatment; prepn. of substituted

thiodicyanoarylaminopyridines as adenosine receptor agonists)

IT Urogenital tract  
(diseases, treatment; prepn. of substituted  
thiodicyanoarylaminopyridines as adenosine receptor agonists)

IT Liver, disease  
(fibrosis, treatment; prepn. of substituted  
thiodicyanoarylaminopyridines as adenosine receptor agonists)

IT Analgesics  
Anti-inflammatory agents  
Antidiabetic agents  
Antihypertensives  
Antitumor agents  
Cardiovascular agents  
(prepn. of substituted thiodicyanoarylaminopyridines as adenosine  
receptor agonists)

IT Adenosine receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(prepn. of substituted thiodicyanoarylaminopyridines as adenosine  
receptor agonists)

IT Cirrhosis  
(treatment; prepn. of substituted thiodicyanoarylaminopyridines  
as adenosine receptor agonists)

IT 161689-54-9P 161689-58-3P 189278-30-6P 189278-32-8P  
189278-39-5P 221178-99-0P 221179-00-6P 309268-54-0P  
309278-26-0P 331984-45-3P 332045-61-1P 333959-07-2P  
333959-08-3P 333959-09-4P 333959-10-7P 333959-11-8P  
333959-12-9P 333959-13-0P 333959-14-1P 333959-15-2P  
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333960-37-5P	333960-38-6P	333960-39-7P	333960-40-0P
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333961-25-4P	333961-26-5P	333961-27-6P	333961-28-7P
333961-29-8P	333961-30-1P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of substituted  
thiodicyanoarylaminopyridines as adenosine receptor agonists)

IT	333961-31-2P	333961-32-3P	333961-33-4P	333961-34-5P
	333961-35-6P	333961-36-7P	333961-37-8P	333961-38-9P
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333963-24-9P	333963-27-2P	333963-29-4P	333963-31-8P
333963-33-0P	333963-35-2P	333963-37-4P	333963-39-6P
333963-41-0P	333963-43-2P	333963-44-3P	333963-45-4P
333963-46-5P	333963-47-6P	333963-48-7P	333963-49-8P
333963-50-1P	333963-51-2P	333963-52-3P	333963-53-4P
333963-54-5P	333963-55-6P	333963-56-7P	333963-57-8P
333963-59-0P	333963-74-9P	333963-75-0P	333963-76-1P
333963-77-2P	333963-78-3P	333963-79-4P	333963-80-7P
333963-81-8P	333963-82-9P	333963-83-0P	333963-84-1P
333963-85-2P	333963-86-3P	333963-87-4P	333963-88-5P
333963-89-6P	333963-90-9P	333963-91-0P	333963-92-1P
333963-93-2P	333963-94-3P	333963-95-4P	333963-96-5P
333963-97-6P	333963-98-7P	333963-99-8P	333964-00-4P
333964-01-5P	333964-02-6P	333964-03-7P	333964-04-8P
333964-05-9P	333964-06-0P		

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(drug candidate; prepn. of substituted  
thiodicyanoarylamino pyridines as adenosine receptor agonists)

IT	333964-07-1P	333964-08-2P	333964-09-3P	333964-10-6P
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333966-49-7P	333966-51-1P		

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(drug candidate; prepn. of substituted  
thiodicyanoarylaminopyridines as adenosine receptor agonists)

IT	333966-53-3P	333966-55-5P	333966-56-6P	333966-57-7P
	333966-58-8P	333966-59-9P	333966-60-2P	333966-61-3P
	333966-62-4P	333966-63-5P	333966-64-6P	333966-65-7P
	333966-66-8P	333966-67-9P	333966-68-0P	333966-69-1P
	333966-70-4P	333966-71-5P	333966-72-6P	333966-73-7P
	333966-74-8P	333966-75-9P	333966-76-0P	333966-77-1P
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	333967-03-6P	333967-04-7P	333967-05-8P	333967-06-9P
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 333967-35-4P 333967-36-5P 333967-37-6P 333967-38-7P  
 333967-39-8P 333967-40-1P 333967-41-2P 333967-42-3P  
 333967-43-4P

RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(drug candidate; prepn. of substituted  
 thiodicyanoarylaminopyridines as adenosine receptor agonists)

IT 26088-79-9P 333963-73-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

(intermediate; prepn. of substituted  
 thiodicyanoarylaminopyridines as adenosine receptor agonists)

IT 70-11-1, 2-Bromo-1-phenylethanone 79-08-3, Bromoacetic acid  
 79-22-1, Chloroformic acid methyl ester 96-32-2, Bromoacetic acid  
 methyl ester 100-39-0 106-95-6, reactions 108-98-5,  
 Thiophenol, reactions 109-77-3, Malononitrile 109-90-0, Ethyl  
 isocyanate 122-85-0 403-29-2, 2-Bromo-1-(4-fluorophenyl)ethanone  
 456-41-7 459-46-1 540-51-2 541-41-3, Chloroformic acid ethyl  
 ester 624-83-9, Methyl isocyanate 627-18-9 637-59-2  
 683-57-8, Bromoacetamide 870-63-3 874-98-6 1129-28-8  
 2430-01-5 2466-76-4, N-Acetylimidazole 2576-47-8,  
 2-Bromoethylamine hydrobromide 2939-05-1 3042-81-7 3958-57-4  
 4704-77-2 4870-65-9 4916-55-6 5061-21-2 5198-76-5  
 5327-00-4, N-Ethylbromoacetamide 5437-45-6 5445-17-0,  
 2-Bromopropanoic acid methyl ester 5469-26-1, 1-Bromo-3,3-dimethyl-  
 2-butanone 5875-25-2, 2-Bromopropanamide 6482-24-2 17172-88-2  
 17201-43-3 19686-73-8, 1-Bromo-2-propanol 20782-91-6  
 22115-41-9 24185-31-7 25578-69-2, 1-(Bromoacetyl)-2-  
 imidazolidinone 28188-41-2 34325-71-8, 2-Bromo-N-(4-  
 ethoxyphenyl)acetamide 34680-81-4 38585-61-4 42308-20-3,  
 2-Bromo-N-phenylpropanamide 78667-04-6 85684-64-6 89212-27-1  
 91720-38-6 110691-01-5 110691-02-6 119022-76-3 119044-83-6  
 125219-58-1 141503-46-0 175205-61-5 201807-83-2 208254-26-6  
 221178-83-2 221178-85-4 255909-04-7, 2-Bromo-N-(2,4-  
 dimethylphenyl)acetamide 333780-97-5 333780-98-6 333781-43-4  
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 333788-65-1 333788-89-9 333789-01-8 333791-53-0 333793-62-7  
 333793-64-9 333963-60-3 333963-62-5 333963-64-7 333963-66-9  
 333963-68-1 333963-70-5 333963-71-6 333963-72-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; prepn. of substituted  
 thiodicyanoarylaminopyridines as adenosine receptor agonists)

IT 333793-63-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

(starting material; prepn. of substituted  
 thiodicyanoarylaminopyridines as adenosine receptor agonists)

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L11 ANSWER 4 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 134:173028 MARPAT

TITLE: Cyclic amine CCR3 antagonists

INVENTOR(S): Shiota, Tatsuki; Sudoh, Masaki; Yokoyama, Tomonori; Muroga, Yumiko; Kamimura, Takashi; Nakanishi, Akinobu

PATENT ASSIGNEE(S): Teijin Ltd., Japan

SOURCE: PCT Int. Appl., 263 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010439	A1	20010215	WO 2000-JP5260	20000804
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1201239	A1	20020502	EP 2000-950006	20000804
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL			

PRIORITY APPLN. INFO.: JP 1999-220864 19990804  
WO 2000-JP5260 20000804

AB Drugs contg. as the active ingredient cyclic amine derivs. represented by general formula (Markush's structure given), pharmaceutically acceptable acid addn. salts thereof or pharmaceutically acceptable C1-6 alkyl adducts thereof. These drugs are efficacious in preventing and treating diseases in which CCR3 participates such as asthma and allergic rhinitis.

IC ICM A61K031-40  
ICS A61K031-4025; A61K031-445; A61K031-4468; A61K031-4525; A61K031-4535; A61K031-454; A61K031-422; A61K031-404; A61K031-4155; A61K031-4245; A61K031-5377; A61K031-4545; A61K031-4709; A61K031-4184; A61K031-427; A61K031-506; A61K031-433; A61K031-423; A61K031-4192

CC 1-7 (Pharmacology)

Section cross-reference(s): 27

ST cyclic amine CCR3 antagonist eotaxin antiasthmatic; antiallergic cyclic amine CCR3 antagonist eotaxin; dermatitis cyclic amine CCR3 antagonist eotaxin; inflammatory bowel disease cyclic amine CCR3 antagonist; AIDS cyclic amine CCR3 antagonist

IT Eye, disease

(allergic conjunctivitis; cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

IT Nose

(allergic rhinitis; cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

IT Dermatitis

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(atopic; cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

IT Dermatitis  
(contact; cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

IT AIDS (disease)  
Allergy inhibitors  
Antiasthmatics  
Urticaria  
(cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

IT Eotaxin  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

IT Amines, biological studies  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(cyclic; cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

IT Intestine, disease  
(inflammatory; cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

IT Chemokine receptors  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(.beta. chemokine receptor CCR3; cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

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226248-36-8	226248-45-9	226248-47-1	226248-83-5	226248-93-7
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325964-50-9				

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(cyclic amine CCR3 antagonists as antiasthmatics and allergy  
inhibitors)

IT	325964-51-0	325964-52-1	325964-53-2	325964-54-3	325964-55-4
	325964-56-5	325964-57-6	325964-58-7	325964-59-8	325964-60-1
	325964-61-2	325964-62-3	325964-63-4	325964-64-5	325964-65-6
	325964-66-7	325964-67-8	325964-68-9	325964-69-0	325964-70-3
	325964-71-4	325964-72-5	325964-73-6	325964-74-7	325964-75-8
	325964-76-9	325964-77-0	325964-78-1	325964-79-2	325964-80-5
	325964-81-6	325964-82-7	325964-83-8	325964-84-9	325964-85-0
	325964-86-1	325964-87-2	325964-88-3	325964-89-4	325964-90-7
	325964-91-8	325964-92-9	325964-93-0	325964-94-1	325964-95-2
	325964-96-3	325964-97-4	325964-98-5	325964-99-6	325965-00-2
	325965-01-3	325965-02-4	325965-03-5	325965-04-6	325965-05-7
	325965-06-8	325965-07-9	325965-08-0	325965-09-1	325965-10-4
	325965-11-5	325965-12-6	325965-13-7	325965-14-8	325965-15-9
	325965-16-0	325965-17-1	325965-18-2	325965-19-3	325965-20-6
	325965-21-7				

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(cyclic amine CCR3 antagonists as antiasthmatics and allergy  
inhibitors)

IT 104-83-6, 4-Chlorobenzyl chloride 121-44-8, Triethylamine,  
reactions 698-80-6, 3,4-Difluorobenzyl chloride 99724-19-3,  
3-((tert-Butoxycarbonyl)amino)pyrrolidine

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclic amine CCR3 antagonists as antiasthmatics and allergy  
inhibitors)

IT	169452-11-3P	226248-98-2P	226249-99-3P	226249-00-9P
	226249-02-1P	226249-94-1P		

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)

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(cyclic amine CCR3 antagonists as antiasthmatics and allergy inhibitors)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 134:17402 MARPAT

TITLE: Preparation of 4-arylpiperidine derivatives for the treatment of pruritus

INVENTOR(S): Armer, Richard Edward; Bronk, Brian Scott; Gibson, Stephen Paul; Roberts, Lee Richard; Tommasini, Ivan; Verrier, Kimberley

PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Limited

SOURCE: Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

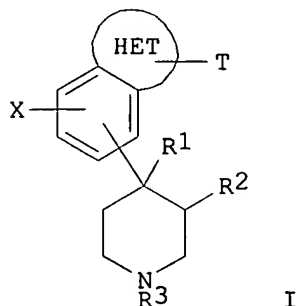
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1055668	A1	20001129	EP 2000-304227	20000518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6441000	B1	20020827	US 2000-573300	20000518
JP 2001097972	A2	20010410	JP 2000-154475	20000525
CA 2309505	AA	20001128	CA 2000-2309505	20000526
BR 2000002518	A	20010102	BR 2000-2518	20000529
PRIORITY APPLN. INFO.:			GB 1999-12413	19990528

GI



AB The title compds. I [HET = 5-, 6- or 7-membered heterocyclic ring contg. at least one nitrogen atom, and optionally one or more heteroatoms selected from oxygen or sulfur; T = H, halo, OH, :O, C1-6 alkyl, C1-6 alkoxy, etc.; R1, R2 = H, alkyl; R3 = aryl alkyl, alkenyl, alkynyl; X = halo, alkyl, alkoxy], useful in the prophylaxis and in the treatment of diseases mediated by opiate receptors, such as pruritus, were prepd. E.g., a soln. of trans-4-(1-hexyl-3,4-dimethyl-4-piperidinyl)-1,2-benzenediamine (prepn. given) in 90% formic acid was heated to 100 .degree.C for 2

Searcher : Shears 308-4994

h to give trans-5-(1-hexyl-3,4-dimethyl-4-piperidinyl)-1H-benzimidazole. The opioid receptor binding assays of I for the p-receptor were detd.

- IC ICM C07D211-26  
ICS C07D401-04; C07D413-04; A61K031-454; A61P017-04
- CC 27-16 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1
- ST arylpiperidine prepn pruritus treatment; piperidine aryl prepn pruritus treatment; opioid receptor binding arylpiperidine
- IT Opioid receptors  
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)  
(prepn. and opioid receptor binding of arylpiperidine derivs.)
- IT Pruritus  
(prepn. of arylpiperidine derivs. for the treatment of pruritus)
- IT 309263-87-4P 309263-88-5P 309263-89-6P 309263-90-9P  
309263-91-0P 309263-92-1P 309263-93-2P 309263-94-3P  
309263-95-4P 309263-96-5P 309263-97-6P 309263-98-7P  
309263-99-8P 309264-00-4P 309264-01-5P 309264-02-6P  
309264-03-7P 309264-04-8P 309264-05-9P 309264-06-0P  
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309264-15-1P 309264-16-2P 309264-17-3P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of arylpiperidine derivs. for the treatment of pruritus)
- IT 79-03-8, Propionyl chloride 79-09-4, Propionic acid, reactions  
79-31-2, Isobutyric acid 103-82-2, Phenylacetic acid, reactions  
104-09-6, p-Tolylacetaldehyde 110-62-3, Valeraldehyde 589-10-6,  
.beta.-Bromophenetole 637-59-2, 1-Bromo-3-phenylpropane  
1850-14-2, Tetramethoxymethane 1886-59-5 2120-70-9,  
2-Phenoxyacetaldehyde 3400-45-1, Cyclopentanecarboxylic acid  
3721-95-7, Cyclobutanecarboxylic acid 16799-08-9 22409-86-5,  
3-Phenoxypropanal 35354-37-1, 1-Bromo-5-methylhexane 42149-74-6,  
2-Chloroethyl propyl ether 59381-63-4 60656-87-3,  
Benzyloxyacetaldehyde  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of arylpiperidine derivs. for the treatment of pruritus)
- IT 309264-18-4P 309264-19-5P 309264-20-8P 309264-21-9P  
309264-22-0P 309264-23-1P 309264-24-2P 309264-25-3P  
309264-26-4P 309264-27-5P 309264-29-7P 309264-30-0P  
309264-31-1P 309264-32-2P 309264-33-3P 309264-34-4P  
309264-35-5P 309264-36-6P 309264-37-7P 309264-38-8P  
309264-39-9P 309264-40-2P 309264-41-3P 309264-42-4P  
309264-43-5P 309264-44-6P 309264-45-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of arylpiperidine derivs. for the treatment of pruritus)
- REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN  
THE RE FORMAT

L11 ANSWER 6 OF 15 MARPAT COPYRIGHT 2002 ACS  
(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 134:5154 MARPAT

TITLE: Preparation of cyclic amine derivatives as

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remedies or preventives for diseases in  
association with chemokines or chemokine  
receptors

INVENTOR(S): Shiota, Tatsuki; Miyagi, Fuminori; Kamimura,  
Takashi; Ohta, Tomohiro; Takano, Yasuhiro;  
Horiuchi, Hideki

PATENT ASSIGNEE(S): Teijin Limited, Japan

SOURCE: PCT Int. Appl., 405 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

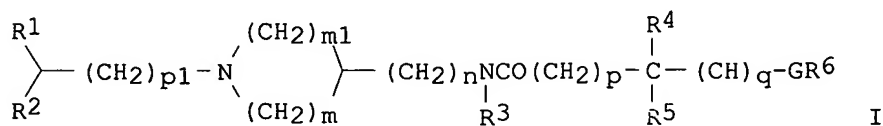
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069432	A1	20001123	WO 2000-JP3203	20000518
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1179341	A1	20020213	EP 2000-927808	20000518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001005599	A	20011116	NO 2001-5599	20011116
PRIORITY APPLN. INFO.:			JP 1999-175856	19990518
			JP 1999-251464	19990906
			WO 2000-JP3203	20000518

GI



AB Remedies or preventives for diseases in assocn. with chemokines such as MIP-1.alpha. and/or MCP-1 or chemokine receptors such as CCR1 or CCR2 contain as the active ingredient N-acyl-amino acid N-cyclic amino or N-cyclic aminoalkyl-amide derivs. represented by general formula [I; (un)substituted Ph, C3-8 cycloalkyl, arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N; R<sup>2</sup> = H, (un)substituted C1-6 alkyl, C2-7 alkoxy carbonyl, HO, (un)substituted Ph; p<sub>1</sub>, m<sub>1</sub> = 0-2; m = 2-4; n = 0,1; R<sup>3</sup> = H, (un)substituted C1-6 alkyl; R<sup>4</sup>, R<sup>5</sup> = H, OH, (un)substituted Ph or C1-6 alkyl; or R<sup>4</sup> and R<sup>5</sup> are combined together to form a 3- to 5-membered hydrocarbyl; p, q = 0,1; G = CO, SO<sub>2</sub>, CO<sub>2</sub>, NR<sub>7</sub>CO, CONR<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>, or SO<sub>2</sub>NR<sub>7</sub>, NHCONH, NHCSNH, NH CO<sub>2</sub>, O<sub>2</sub>CNH; R<sub>7</sub> = H, C1-6 alkyl; or R<sub>7</sub> and R<sub>5</sub> are combined together to form C2-5 alkylene; R<sub>6</sub> = (un)substituted Ph, C3-8

cycloalkyl, C3-6 cycloalkenyl, CH<sub>2</sub>Ph, or arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N, wherein Ph, CH<sub>2</sub>Ph, or arom. heterocyclyl group is optionally fused with (un)substituted benzene or arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N], pharmaceutically acceptable acid-adducts thereof, or pharmaceutically acceptable C1-6 alkyl-adducts thereof. The above diseases include destruction of bone or cartilage (e.g. arthritis, rheumatoid arthritis, osteoarthritis, osteoporosis, injury, and tumor), nephritis, kidney diseases, glomerulus or interstitial nephritis, nephrotic syndrome, demyelinating disease, or multiple sclerosis. Thus, N-3-ethoxybenzyl-D-methionine-N-[1-(4-chlorobenzyl)-4-piperazinylmethyl]amide in vitro inhibited the binding of human MIP-1.alpha. to THP-1 cells by >80% at 2 .mu.M.

- IC ICM A61K031-40
- ICS A61K031-422; A61K031-4439; A61K031-404; A61K031-4545;  
A61K031-4525; A61K031-4535; A61K031-454; A61K031-427;  
A61K031-433; A61K031-4245; A61K031-4155; A61K031-5377;  
A61K031-4709; A61K031-506; A61K031-4184; A61K031-4178;  
A61K031-423; A61K031-4192; A61K031-445
- CC 34-2 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1; 27, 28
- ST cyclic amine prepn binding inhibitor chemokine receptor; bone  
destruction disease preventive treatment acylamino acid amide;  
cartilage destruction disease preventive treatment acylamino acid  
amide
- IT Amides, preparation  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(amino; prepn. of cyclic amine derivs. as remedies or preventives  
for diseases in assocn. with chemokines or chemokine receptors)
- IT Musculoskeletal diseases  
(cartilage, destruction; prepn. of cyclic amine derivs. as  
remedies or preventives for diseases in assocn. with chemokines  
or chemokine receptors)
- IT Amines, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(cyclic; prepn. of cyclic amine derivs. as remedies or  
preventives for diseases in assocn. with chemokines or chemokine  
receptors)
- IT Nerve, disease  
(demyelination; prepn. of cyclic amine derivs. as remedies or  
preventives for diseases in assocn. with chemokines or chemokine  
receptors)
- IT Bone, disease  
(destruction; prepn. of cyclic amine derivs. as remedies or  
preventives for diseases in assocn. with chemokines or chemokine  
receptors)
- IT Cartilage  
(disease, destruction; prepn. of cyclic amine derivs. as remedies  
or preventives for diseases in assocn. with chemokines or  
chemokine receptors)
- IT Bone, disease  
(injury; prepn. of cyclic amine derivs. as remedies or  
preventives for diseases in assocn. with chemokines or chemokine  
receptors)

- IT Kidney, disease  
(interstitial nephritis; prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)
- IT Kidney, disease  
(nephritis; prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)
- IT Kidney, disease  
(nephrotic syndrome; prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)
- IT Antiarthritics  
Bone, neoplasm  
Kidney, disease  
Multiple sclerosis  
Osteoarthritis  
Osteoporosis  
Rheumatoid arthritis  
(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)
- IT Chemokine receptors  
Chemokines  
Macrophage inflammatory protein 1.alpha.  
Monocyte chemoattractant protein-1  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)
- IT 215945-63-4P 226225-97-4P 226225-98-5P 226225-99-6P  
226226-00-2P 226226-01-3P 226226-02-4P 226226-03-5P  
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226227-45-8P	226227-46-9P	226227-47-0P	226227-48-1P
226227-49-2P	226227-50-5P	226227-51-6P	226227-52-7P
226227-53-8P	226227-54-9P	226227-55-0P	226227-56-1P
226227-57-2P	226227-58-3P	226227-59-4P	226227-60-7P
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226227-65-2P	226227-66-3P	226227-67-4P	226227-68-5P
226227-69-6P	226227-70-9P	226227-71-0P	226227-72-1P
226227-73-2P	226227-74-3P	226227-75-4P	226227-76-5P
226227-77-6P	226227-78-7P	226227-79-8P	226227-80-1P
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226228-29-1P	226228-30-4P	226228-31-5P	226228-32-6P
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226228-37-1P	226228-38-2P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

IT 226228-39-3P	226228-40-6P	226228-41-7P	226228-42-8P
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226229-32-9P	226229-33-0P	226229-34-1P	226229-35-2P
226229-36-3P	226229-37-4P	226229-38-5P	226229-39-6P
226229-40-9P	226229-41-0P	226229-42-1P	226229-43-2P
226229-44-3P	226229-45-4P	226229-47-6P	226229-48-7P
226229-49-8P	226229-51-2P	226229-52-3P	226229-53-4P
226229-54-5P	226229-55-6P	226229-57-8P	226229-58-9P
226229-59-0P	226229-60-3P	226229-61-4P	226229-62-5P
226229-63-6P	226229-64-7P	226229-65-8P	226229-66-9P
226229-67-0P	226229-68-1P	226229-69-2P	226229-70-5P
226229-71-6P	226229-72-7P	226229-73-8P	226229-74-9P
226229-75-0P	226229-76-1P	226229-77-2P	226229-78-3P
226229-79-4P	226229-80-7P	226229-81-8P	226229-82-9P
226229-83-0P	226229-84-1P	226229-85-2P	226229-87-4P
226229-88-5P	226229-89-6P	226229-90-9P	226229-91-0P
226229-92-1P	226229-93-2P	226229-94-3P	226229-95-4P
226229-96-5P	226229-97-6P	226229-98-7P	226229-99-8P
226230-00-8P	226230-01-9P	226230-02-0P	226230-03-1P
226230-04-2P	226230-05-3P	226230-06-4P	226230-07-5P
226230-08-6P	226230-09-7P	226230-10-0P	226230-11-1P
226230-12-2P	226230-13-3P	226230-14-4P	226230-15-5P
226230-16-6P	226230-17-7P	226230-18-8P	226230-19-9P
226230-20-2P	226230-21-3P	226230-22-4P	226230-23-5P
226230-24-6P	226230-25-7P	226230-27-9P	226230-29-1P
226230-31-5P	226230-32-6P	226230-33-7P	226230-34-8P
226230-36-0P	226230-37-1P	226230-38-2P	226230-40-6P
226230-42-8P	226230-44-0P	226230-46-2P	226230-48-4P
226230-49-5P	226230-50-8P	226230-51-9P	226230-53-1P
226230-55-3P	226230-56-4P	226230-57-5P	226230-58-6P
226230-59-7P	226230-60-0P	226230-61-1P	226230-62-2P
226230-63-3P	226230-64-4P	226230-65-5P	226230-66-6P
226230-67-7P	226230-68-8P	226230-69-9P	226230-70-2P
226230-71-3P	226230-72-4P	226230-73-5P	226230-74-6P
226230-75-7P	226230-76-8P	226230-77-9P	226230-78-0P
226230-79-1P	226230-80-4P	226230-81-5P	226230-82-6P
226230-83-7P	226230-84-8P	226230-85-9P	226230-86-0P
226230-87-1P	226230-88-2P		

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for  
diseases in assocn. with chemokines or chemokine receptors)

IT	226230-89-3P	226230-90-6P	226230-91-7P	226230-92-8P
	226230-93-9P	226230-94-0P	226230-95-1P	226230-96-2P
	226230-97-3P	226230-98-4P	226230-99-5P	226231-00-1P
	226231-01-2P	226231-02-3P	226231-03-4P	226231-04-5P
	226231-05-6P	226231-06-7P	226231-07-8P	226231-08-9P
	226231-09-0P	226231-10-3P	226231-11-4P	226231-12-5P
	226231-13-6P	226231-14-7P	226231-15-8P	226231-16-9P
	226231-17-0P	226231-18-1P	226231-19-2P	226231-20-5P
	226231-21-6P	226231-22-7P	226231-23-8P	226231-24-9P
	226231-25-0P	226231-26-1P	226231-27-2P	226231-28-3P
	226231-29-4P	226231-30-7P	226231-31-8P	226231-32-9P
	226231-33-0P	226231-34-1P	226231-35-2P	226231-36-3P
	226231-37-4P	226231-38-5P	226231-39-6P	226231-40-9P
	226231-41-0P	226231-42-1P	226231-44-3P	226231-45-4P
	226231-46-5P	226231-47-6P	226231-48-7P	226231-49-8P

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226231-50-1P	226231-51-2P	226231-52-3P	226231-53-4P
226231-55-6P	226231-56-7P	226231-57-8P	226231-58-9P
226231-59-0P	226231-60-3P	226231-61-4P	226231-62-5P
226231-63-6P	226231-64-7P	226231-65-8P	226231-66-9P
226231-67-0P	226231-68-1P	226231-69-2P	226231-70-5P
226231-71-6P	226231-73-8P	226231-74-9P	226231-75-0P
226231-76-1P	226231-77-2P	226231-78-3P	226231-79-4P
226231-80-7P	226231-82-9P	226231-84-1P	226231-85-2P
226231-86-3P	226231-87-4P	226231-88-5P	226231-89-6P
226231-90-9P	226231-91-0P	226231-92-1P	226231-93-2P
226231-94-3P	226231-95-4P	226231-96-5P	226231-97-6P
226231-98-7P	226231-99-8P	226232-00-4P	226232-01-5P
226232-02-6P	226232-03-7P	226232-04-8P	226232-05-9P
226232-06-0P	226232-07-1P	226232-08-2P	226232-09-3P
226232-10-6P	226232-11-7P	226232-12-8P	226232-13-9P
226232-14-0P	226232-15-1P	226232-16-2P	226232-17-3P
226232-18-4P	226232-19-5P	226232-20-8P	226232-21-9P
226232-22-0P	226232-23-1P	226232-24-2P	226232-25-3P
226232-26-4P	226232-27-5P	226232-28-6P	226232-29-7P
226232-30-0P	226232-31-1P	226232-32-2P	226232-33-3P
226232-34-4P	226232-35-5P	226232-36-6P	226232-37-7P
226232-38-8P	226232-39-9P	226232-40-2P	226232-41-3P
226232-42-4P	226232-43-5P	226232-44-6P	226232-45-7P
226232-46-8P	226232-47-9P	226232-48-0P	226232-49-1P
226232-50-4P	226232-51-5P	226232-52-6P	226232-53-7P
226232-54-8P	226232-55-9P	226232-56-0P	226232-57-1P
226232-58-2P	226232-59-3P	226232-60-6P	226232-61-7P
226232-62-8P	226232-63-9P	226232-64-0P	226232-65-1P
226232-66-2P	226232-67-3P	226232-68-4P	226232-69-5P
226232-70-8P	226232-71-9P	226232-72-0P	226232-73-1P
226232-75-3P	226232-76-4P	226232-78-6P	226232-80-0P
226232-84-4P	226232-86-6P	226232-88-8P	226232-90-2P
226232-92-4P	226232-94-6P	226232-96-8P	226232-98-0P
226233-00-7P	226233-02-9P	226233-04-1P	226233-06-3P
226233-08-5P	226233-09-6P	226233-10-9P	226233-11-0P
226233-12-1P	226233-13-2P	226233-14-3P	226233-15-4P
226233-16-5P	226233-17-6P	226233-18-7P	226233-19-8P
226233-20-1P	226233-21-2P	226233-22-3P	226233-23-4P
226233-24-5P	226233-25-6P	226233-26-7P	226233-27-8P
226233-29-0P	226233-30-3P	226233-31-4P	226233-32-5P
226233-33-6P	226233-34-7P	226233-35-8P	226233-36-9P
226233-37-0P	226233-38-1P	226233-39-2P	226233-40-5P
226233-41-6P	226233-42-7P	226233-43-8P	226233-44-9P
226233-45-0P	226233-47-2P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

IT	226233-48-3P	226233-49-4P	226233-50-7P	226233-51-8P
	226233-52-9P	226233-53-0P	226233-54-1P	226233-55-2P
	226233-56-3P	226233-57-4P	226233-58-5P	226233-59-6P
	226233-60-9P	226233-61-0P	226233-62-1P	226233-63-2P
	226233-64-3P	226233-65-4P	226233-66-5P	226233-67-6P
	226233-68-7P	226233-69-8P	226233-70-1P	226233-71-2P
	226233-72-3P	226233-73-4P	226233-74-5P	226233-75-6P
	226233-76-7P	226233-77-8P	226233-78-9P	226233-79-0P

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226233-80-3P	226233-81-4P	226233-82-5P	226233-83-6P
226233-84-7P	226233-85-8P	226233-86-9P	226233-87-0P
226233-88-1P	226233-89-2P	226233-90-5P	226233-91-6P
226233-92-7P	226233-93-8P	226233-94-9P	226233-95-0P
226233-96-1P	226233-97-2P	226233-98-3P	226233-99-4P
226234-00-0P	226234-01-1P	226234-02-2P	226234-03-3P
226234-04-4P	226234-05-5P	226234-06-6P	226234-07-7P
226234-08-8P	226234-09-9P	226234-10-2P	226234-11-3P
226234-12-4P	226234-13-5P	226234-14-6P	226234-15-7P
226234-16-8P	226234-18-0P	226234-19-1P	226234-20-4P
226234-21-5P	226234-22-6P	226234-23-7P	226234-24-8P
226234-25-9P	226234-26-0P	226234-27-1P	226234-28-2P
226234-29-3P	226234-30-6P	226234-31-7P	226234-32-8P
226234-33-9P	226234-34-0P	226234-35-1P	226234-36-2P
226234-38-4P	226234-39-5P	226234-40-8P	226234-41-9P
226234-42-0P	226234-43-1P	226234-44-2P	226234-45-3P
226234-46-4P	226234-47-5P	226234-48-6P	226234-49-7P
226234-50-0P	226234-53-3P	226234-55-5P	226234-58-8P
226234-60-2P	226234-62-4P	226234-64-6P	226234-65-7P
226234-66-8P	226234-67-9P	226234-68-0P	226234-69-1P
226234-70-4P	226234-71-5P	226234-72-6P	226234-73-7P
226234-74-8P	226234-75-9P	226234-76-0P	226234-77-1P
226234-78-2P	226234-79-3P	226234-80-6P	226234-81-7P
226234-82-8P	226234-83-9P	226234-84-0P	226234-85-1P
226234-86-2P	226234-87-3P	226234-88-4P	226234-89-5P
226234-90-8P	226234-91-9P	226234-92-0P	226234-93-1P
226234-94-2P	226234-95-3P	226234-96-4P	226234-97-5P
226234-98-6P	226234-99-7P	226235-00-3P	226235-01-4P
226235-02-5P	226235-03-6P	226235-04-7P	226235-05-8P
226235-06-9P	226235-07-0P	226235-08-1P	226235-09-2P
226235-10-5P	226235-11-6P	226235-12-7P	226235-13-8P
226235-14-9P	226235-15-0P	226235-16-1P	226235-17-2P
226235-18-3P	226235-19-4P	226235-20-7P	226235-21-8P
226235-23-0P	226235-24-1P	226235-25-2P	226235-26-3P
226235-28-5P	226235-30-9P	226235-32-1P	226235-33-2P
226235-34-3P	226235-35-4P	226235-36-5P	226235-38-7P
226235-39-8P	226235-40-1P	226235-41-2P	226235-42-3P
226235-43-4P	226235-44-5P	226235-45-6P	226235-46-7P
226235-47-8P	226235-48-9P	226235-49-0P	226235-50-3P
226235-51-4P	226235-52-5P	226235-53-6P	226235-54-7P
226235-55-8P	226235-56-9P	226235-57-0P	226235-58-1P
226235-59-2P	226235-60-5P	226235-61-6P	226235-62-7P
226235-63-8P	226235-64-9P	226235-65-0P	226235-66-1P
226235-67-2P	226235-68-3P	226235-69-4P	226235-70-7P
226235-71-8P	226235-72-9P	226235-73-0P	226235-74-1P
226235-75-2P	226235-76-3P	226235-77-4P	226235-78-5P
226235-79-6P	226235-80-9P	226235-81-0P	226235-82-1P
226235-83-2P	226235-84-3P	226235-85-4P	226235-86-5P
226235-87-6P	226235-88-7P	226235-89-8P	226235-90-1P
226235-91-2P	226235-92-3P	226235-93-4P	226235-95-6P
226235-96-7P	226235-97-8P		

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for  
diseases in assocn. with chemokines or chemokine receptors)

IT 226235-98-9P 226235-99-0P 226236-00-6P 226236-01-7P

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226236-02-8P	226236-03-9P	226236-04-0P	226236-05-1P
226236-06-2P	226236-07-3P	226236-08-4P	226236-09-5P
226236-10-8P	226236-11-9P	226236-12-0P	226236-13-1P
226236-15-3P	226236-17-5P	226236-18-6P	226236-19-7P
226236-21-1P	226236-23-3P	226236-25-5P	226236-27-7P
226236-28-8P	226236-30-2P	226236-31-3P	226236-32-4P
226236-34-6P	226236-36-8P	226236-39-1P	226236-42-6P
226236-43-7P	226236-45-9P	226236-46-0P	226236-47-1P
226236-48-2P	226236-49-3P	226236-50-6P	226236-51-7P
226236-52-8P	226236-53-9P	226236-54-0P	226236-55-1P
226236-56-2P	226236-57-3P	226236-58-4P	226236-59-5P
226236-60-8P	226236-61-9P	226236-62-0P	226236-63-1P
226236-64-2P	226236-65-3P	226236-66-4P	226236-67-5P
226236-68-6P	226236-69-7P	226236-70-0P	226236-71-1P
226236-72-2P	226236-73-3P	226236-74-4P	226236-75-5P
226236-76-6P	226236-77-7P	226236-78-8P	226236-79-9P
226236-80-2P	226236-81-3P	226236-82-4P	226236-83-5P
226236-84-6P	226236-85-7P	226236-86-8P	226236-87-9P
226236-89-1P	226236-90-4P	226236-91-5P	226236-92-6P
226236-93-7P	226236-94-8P	226236-95-9P	226236-96-0P
226236-97-1P	226236-98-2P	226236-99-3P	226237-00-9P
226237-01-0P	226237-02-1P	226237-03-2P	226237-04-3P
226237-05-4P	226237-07-6P	226237-09-8P	226237-11-2P
226237-13-4P	226237-15-6P	226237-17-8P	226237-19-0P
226237-21-4P	226237-23-6P	226237-24-7P	226237-25-8P
226237-26-9P	226237-27-0P	226237-28-1P	226237-29-2P
226237-30-5P	226237-31-6P	226237-32-7P	226237-33-8P
226237-34-9P	226237-35-0P	226237-36-1P	226237-37-2P
226237-38-3P	226237-39-4P	226237-40-7P	226237-41-8P
226237-42-9P	226237-43-0P	226237-44-1P	226237-45-2P
226237-46-3P	226237-47-4P	226237-48-5P	226237-49-6P
226237-50-9P	226237-52-1P	226237-53-2P	226237-54-3P
226237-55-4P	226237-56-5P	226237-57-6P	226237-58-7P
226237-59-8P	226237-60-1P	226237-62-3P	226237-63-4P
226237-65-6P	226237-66-7P	226237-67-8P	226237-68-9P
226237-69-0P	226237-70-3P	226237-71-4P	226237-72-5P
226237-73-6P	226237-74-7P	226237-75-8P	226237-76-9P
226237-77-0P	226237-78-1P	226237-79-2P	226237-80-5P
226237-81-6P	226237-82-7P	226237-83-8P	226237-84-9P
226237-85-0P	226237-86-1P	226237-87-2P	226237-88-3P
226237-89-4P	226237-90-7P	226237-91-8P	226237-92-9P
226237-93-0P	226237-94-1P	226237-95-2P	226237-96-3P
226237-97-4P	226237-98-5P	226237-99-6P	226238-00-2P
226238-01-3P	226238-02-4P	226238-03-5P	226238-04-6P
226238-05-7P	226238-06-8P	226238-07-9P	226238-08-0P
226238-09-1P	226238-10-4P	226238-11-5P	226238-12-6P
226238-13-7P	226238-15-9P	226238-16-0P	226238-17-1P
226238-18-2P	226238-19-3P	226238-20-6P	226238-21-7P
226238-22-8P	226238-23-9P	226238-24-0P	226238-25-1P
226238-26-2P	226238-27-3P	226238-28-4P	226238-29-5P
226238-30-8P	226238-31-9P	226238-32-0P	226238-33-1P
226238-34-2P	226238-35-3P	226238-36-4P	226238-37-5P
226238-38-6P	226238-39-7P	226238-40-0P	226238-41-1P
226238-42-2P	226238-43-3P	226238-44-4P	226238-45-5P
226238-46-6P	226238-47-7P	226238-48-8P	226238-49-9P
226238-50-2P	226238-51-3P	226238-52-4P	226238-53-5P
226238-54-6P	226238-55-7P	226238-56-8P	226238-57-9P
226238-58-0P	226238-59-1P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

IT	226238-60-4P	226238-61-5P	226238-62-6P	226238-63-7P
	226238-64-8P	226238-65-9P	226238-66-0P	226238-67-1P
	226238-68-2P	226238-69-3P	226238-71-7P	226238-73-9P
	226238-74-0P	226238-75-1P	226238-76-2P	226238-77-3P
	226238-78-4P	226238-79-5P	226238-80-8P	226238-81-9P
	226238-82-0P	226238-83-1P	226238-84-2P	226238-85-3P
	226238-86-4P	226238-87-5P	226238-88-6P	226238-89-7P
	226238-90-0P	226238-91-1P	226238-92-2P	226238-93-3P
	226238-94-4P	226238-96-6P	226238-98-8P	226239-00-5P
	226239-02-7P	226239-04-9P	226239-06-1P	226239-08-3P
	226239-10-7P	226239-13-0P	226239-16-3P	226239-18-5P
	226239-20-9P	226239-22-1P	226239-24-3P	226239-25-4P
	226239-26-5P	226239-27-6P	226239-28-7P	226239-29-8P
	226239-30-1P	226239-31-2P	226239-32-3P	226239-33-4P
	226239-34-5P	226239-35-6P	226239-36-7P	226239-37-8P
	226239-38-9P	226239-39-0P	226239-40-3P	226239-41-4P
	226239-42-5P	226239-43-6P	226239-44-7P	226239-45-8P
	226239-46-9P	226239-47-0P	226239-48-1P	226239-49-2P
	226239-50-5P	226239-51-6P	226239-52-7P	226239-53-8P
	226239-54-9P	226239-55-0P	226239-56-1P	226239-57-2P
	226239-58-3P	226239-59-4P	226239-60-7P	226239-61-8P
	226239-64-1P	226239-65-2P	226239-66-3P	226239-67-4P
	226239-69-6P	226239-72-1P	226239-74-3P	226239-76-5P
	226239-78-7P	226239-82-3P	226239-84-5P	226239-86-7P
	226239-89-0P	226239-92-5P	226239-95-8P	226239-98-1P
	226240-01-3P	226240-03-5P	226240-05-7P	226240-08-0P
	226240-11-5P	226240-13-7P	226240-15-9P	226240-16-0P
	226240-17-1P	226240-19-3P	226240-21-7P	226240-24-0P
	226240-27-3P	226240-29-5P	226240-31-9P	226240-32-0P
	226240-34-2P	226240-35-3P	226240-36-4P	226240-37-5P
	226240-38-6P	226240-39-7P	226240-40-0P	226240-41-1P
	226240-43-3P	226240-44-4P	226240-45-5P	226240-46-6P
	226240-47-7P	226240-48-8P	226240-49-9P	226240-50-2P
	226240-51-3P	226240-52-4P	226240-53-5P	226240-54-6P
	226240-55-7P	226240-56-8P	226240-57-9P	226240-58-0P
	226240-59-1P	226240-60-4P	226240-61-5P	226240-62-6P
	226240-63-7P	226240-64-8P	226240-65-9P	226240-66-0P
	226240-67-1P	226240-68-2P	226240-69-3P	226240-70-6P
	226240-71-7P	226240-72-8P	226240-73-9P	226240-74-0P
	226240-75-1P	226240-76-2P	226240-77-3P	226240-78-4P
	226240-79-5P	226240-80-8P	226240-81-9P	226240-82-0P
	226240-83-1P	226240-84-2P	226240-85-3P	226240-86-4P
	226240-87-5P	226240-88-6P	226240-89-7P	226240-90-0P
	226240-91-1P	226240-92-2P	226240-93-3P	226240-94-4P
	226240-95-5P	226240-96-6P	226240-97-7P	226240-98-8P
	226240-99-9P	226241-00-5P	226241-01-6P	226241-02-7P
	226241-03-8P	226241-04-9P	226241-05-0P	226241-06-1P
	226241-07-2P	226241-08-3P	226241-09-4P	226241-11-8P
	226241-12-9P	226241-13-0P	226241-14-1P	226241-16-3P
	226241-18-5P	226241-20-9P	226241-21-0P	226241-23-2P
	226241-26-5P	226241-27-6P	226241-29-8P	226241-30-1P
	226241-32-3P	226241-34-5P	226241-35-6P	226241-39-0P

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226241-41-4P	226241-43-6P	226241-44-7P	226241-46-9P
226241-48-1P	226241-49-2P	226241-50-5P	226241-52-7P
226241-53-8P	226241-55-0P	226241-56-1P	226241-58-3P
226241-60-7P	226241-61-8P	226241-62-9P	226241-63-0P
226241-64-1P	226241-65-2P	226241-66-3P	226241-67-4P
226241-68-5P	226241-69-6P	226241-70-9P	226241-71-0P
226241-72-1P	226241-74-3P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

IT	226241-75-4P	226241-76-5P	226241-77-6P	226241-78-7P
	226241-79-8P	226241-80-1P	226241-81-2P	226241-82-3P
	226241-83-4P	226241-84-5P	226241-85-6P	226241-86-7P
	226241-87-8P	226241-88-9P	226241-89-0P	226241-90-3P
	226241-91-4P	226241-92-5P	226241-93-6P	226241-94-7P
	226241-95-8P	226241-96-9P	226241-97-0P	226241-98-1P
	226241-99-2P	226242-00-8P	226242-01-9P	226242-02-0P
	226242-03-1P	226242-04-2P	226242-05-3P	226242-06-4P
	226242-07-5P	226242-08-6P	226242-09-7P	226242-10-0P
	226242-11-1P	226242-18-8P	226242-19-9P	226242-20-2P
	226242-21-3P	226242-22-4P	226242-23-5P	226242-25-7P
	226242-27-9P	226242-29-1P	226242-31-5P	226242-32-6P
	226242-33-7P	226242-35-9P	226242-36-0P	226242-38-2P
	226242-40-6P	226242-42-8P	226242-44-0P	226242-45-1P
	226242-47-3P	226242-48-4P	226242-49-5P	226242-50-8P
	226242-51-9P	226242-52-0P	226242-53-1P	226242-54-2P
	226242-55-3P	226242-56-4P	226242-57-5P	226242-58-6P
	226242-59-7P	226242-61-1P	226242-62-2P	226242-63-3P
	226242-64-4P	226242-65-5P	226242-66-6P	226242-67-7P
	226242-68-8P	226242-69-9P	226242-70-2P	226242-71-3P
	226242-73-5P	226242-74-6P	226242-75-7P	226242-76-8P
	226242-77-9P	226242-78-0P	226242-79-1P	226242-80-4P
	226242-81-5P	226242-82-6P	226242-83-7P	226242-84-8P
	226242-85-9P	226242-86-0P	226242-87-1P	226242-89-3P
	226242-90-6P	226242-91-7P	226242-92-8P	226242-93-9P
	226242-94-0P	226242-95-1P	226242-96-2P	226242-97-3P
	226242-98-4P	226242-99-5P	226243-00-1P	226243-01-2P
	226243-02-3P	226243-03-4P	226243-04-5P	226243-05-6P
	226243-06-7P	226243-07-8P	226243-08-9P	226243-09-0P
	226243-10-3P	226243-11-4P	226243-12-5P	226243-13-6P
	226243-14-7P	226243-15-8P	226243-17-0P	226243-18-1P
	226243-19-2P	226243-20-5P	226243-21-6P	226243-22-7P
	226243-23-8P	226243-24-9P	226243-25-0P	226243-26-1P
	226243-27-2P	226243-28-3P	226243-29-4P	226243-30-7P
	226243-31-8P	226243-32-9P	226243-33-0P	226243-34-1P
	226243-35-2P	226243-36-3P	226243-37-4P	226243-38-5P
	226243-39-6P	226243-40-9P	226243-41-0P	226243-42-1P
	226243-43-2P	226243-44-3P	226243-45-4P	226243-46-5P
	226243-47-6P	226243-48-7P	226243-49-8P	226243-50-1P
	226243-51-2P	226243-52-3P	226243-53-4P	226243-54-5P
	226243-55-6P	226243-56-7P	226243-57-8P	226243-58-9P
	226243-59-0P	226243-60-3P	226243-61-4P	226243-62-5P
	226243-63-6P	226243-64-7P	226243-65-8P	226243-66-9P
	226243-67-0P	226243-68-1P	226243-69-2P	226243-70-5P
	226243-71-6P	226243-72-7P	226243-73-8P	226243-74-9P

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226243-75-0P	226243-76-1P	226243-77-2P	226243-78-3P
226243-79-4P	226243-80-7P	226243-82-9P	226243-83-0P
226243-84-1P	226243-85-2P	226243-86-3P	226243-87-4P
226243-91-0P	226243-92-1P	226243-94-3P	226243-96-5P
226243-98-7P	226244-00-4P	226244-02-6P	226244-04-8P
226244-06-0P	226244-07-1P	226244-08-2P	226244-10-6P
226244-12-8P	226244-13-9P	226244-14-0P	226244-15-1P
226244-17-3P	226244-18-4P	226244-19-5P	226244-20-8P
226244-21-9P	226244-22-0P	226244-24-2P	226244-25-3P
226244-26-4P	226244-27-5P	226244-28-6P	226244-29-7P
226244-30-0P	226244-32-2P	226244-34-4P	226244-35-5P
226244-36-6P	226244-38-8P	226244-39-9P	226244-40-2P
226244-41-3P	226244-42-4P	226244-44-6P	226244-45-7P
226244-46-8P	226244-47-9P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

IT	226244-48-0P	226244-49-1P	226244-50-4P	226244-51-5P
	226244-52-6P	226244-53-7P	226244-55-9P	226244-56-0P
	226244-57-1P	226244-58-2P	226244-59-3P	226244-60-6P
	226244-61-7P	226244-62-8P	226244-63-9P	226244-64-0P
	226244-65-1P	226244-66-2P	226244-67-3P	226244-68-4P
	226244-69-5P	226244-71-9P	226244-73-1P	226244-74-2P
	226244-75-3P	226244-76-4P	226244-78-6P	226244-79-7P
	226244-80-0P	226244-81-1P	226244-82-2P	226244-83-3P
	226244-84-4P	226244-85-5P	226244-86-6P	226244-87-7P
	226244-88-8P	226244-89-9P	226244-90-2P	226244-91-3P
	226244-92-4P	226244-93-5P	226244-94-6P	226244-95-7P
	226244-96-8P	226244-97-9P	226244-98-0P	226244-99-1P
	226245-00-7P	226245-01-8P	226245-02-9P	226245-03-0P
	226245-04-1P	226245-05-2P	226245-06-3P	226245-07-4P
	226245-08-5P	226245-09-6P	226245-10-9P	226245-11-0P
	226245-12-1P	226245-13-2P	226245-14-3P	226245-15-4P
	226245-16-5P	226245-17-6P	226245-18-7P	226245-19-8P
	226245-20-1P	226245-21-2P	226245-22-3P	226245-23-4P
	226245-24-5P	226245-25-6P	226245-26-7P	226245-27-8P
	226245-28-9P	226245-29-0P	226245-30-3P	226245-31-4P
	226245-32-5P	226245-33-6P	226245-34-7P	226245-35-8P
	226245-36-9P	226245-37-0P	226245-38-1P	226245-39-2P
	226245-40-5P	226245-41-6P	226245-42-7P	226245-43-8P
	226245-44-9P	226245-45-0P	226245-46-1P	226245-48-3P
	226245-49-4P	226245-50-7P	226245-51-8P	226245-52-9P
	226245-54-1P	226245-55-2P	226245-57-4P	226245-59-6P
	226245-61-0P	226245-63-2P	226245-65-4P	226245-67-6P
	226245-69-8P	226245-71-2P	226245-73-4P	226245-75-6P
	226245-77-8P	226245-79-0P	226245-80-3P	226245-81-4P
	226245-82-5P	226245-83-6P	226245-84-7P	226245-85-8P
	226245-86-9P	226245-87-0P	226245-88-1P	226245-90-5P
	226245-91-6P	226245-92-7P	226245-93-8P	226245-94-9P
	226245-95-0P	226245-96-1P	226245-97-2P	226245-98-3P
	226245-99-4P	226246-00-0P	226246-01-1P	226246-02-2P
	226246-03-3P	226246-04-4P	226246-05-5P	226246-06-6P
	226246-07-7P	226246-08-8P	226246-09-9P	226246-10-2P
	226246-11-3P	226246-12-4P	226246-13-5P	226246-14-6P
	226246-15-7P	226246-16-8P	226246-17-9P	226246-18-0P

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226246-19-1P	226246-20-4P	226246-21-5P	226246-22-6P
226246-23-7P	226246-24-8P	226246-25-9P	226246-26-0P
226246-27-1P	226246-28-2P	226246-29-3P	226246-30-6P
226246-31-7P	226246-32-8P	226246-33-9P	226246-34-0P
226246-35-1P	226246-36-2P	226246-37-3P	226246-38-4P
226246-39-5P	226246-40-8P	226246-41-9P	226246-42-0P
226246-43-1P	226246-44-2P	226246-45-3P	226246-46-4P
226246-47-5P	226246-48-6P	226246-49-7P	226246-50-0P
226246-51-1P	226246-52-2P	226246-53-3P	226246-54-4P
226246-55-5P	226246-56-6P	226246-57-7P	226246-58-8P
226246-59-9P	226246-60-2P	226246-61-3P	226246-62-4P
226246-63-5P	226246-64-6P	226246-65-7P	226246-66-8P
226246-67-9P	226246-68-0P	226246-69-1P	226246-70-4P
226246-71-5P	226246-72-6P	226246-73-7P	226246-74-8P
226246-75-9P	226246-76-0P	226246-77-1P	226246-78-2P
226246-79-3P	226246-80-6P	226246-81-7P	226246-82-8P
226246-83-9P	226246-84-0P	226246-85-1P	226246-86-2P
226246-87-3P	226246-88-4P	226246-89-5P	226246-90-8P
226246-91-9P	226246-92-0P	226246-93-1P	226246-94-2P
226246-95-3P	226246-96-4P	226246-97-5P	226246-99-7P
226247-01-4P	226247-02-5P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

IT	226247-03-6P	226247-04-7P	226247-05-8P	226247-06-9P
	226247-07-0P	226247-08-1P	226247-09-2P	226247-10-5P
	226247-11-6P	226247-12-7P	226247-13-8P	226247-14-9P
	226247-15-0P	226247-16-1P	226247-17-2P	226247-18-3P
	226247-19-4P	226247-20-7P	226247-21-8P	226247-22-9P
	226247-23-0P	226247-24-1P	226247-25-2P	226247-26-3P
	226247-27-4P	226247-28-5P	226247-29-6P	226247-30-9P
	226247-31-0P	226247-32-1P	226247-35-4P	226247-36-5P
	226247-37-6P	226247-38-7P	226247-39-8P	226247-40-1P
	226247-41-2P	226247-42-3P	226247-43-4P	226247-44-5P
	226247-45-6P	226247-46-7P	226247-47-8P	226247-48-9P
	226247-49-0P	226247-50-3P	226247-51-4P	226247-52-5P
	226247-53-6P	226247-54-7P	226247-55-8P	226247-56-9P
	226247-57-0P	226247-58-1P	226247-59-2P	226247-60-5P
	226247-62-7P	226247-64-9P	226247-66-1P	226247-68-3P
	226247-72-9P	226247-74-1P	226247-76-3P	226247-78-5P
	226247-81-0P	226247-83-2P	226247-85-4P	226247-87-6P
	226247-89-8P	226247-91-2P	226247-92-3P	226247-94-5P
	226247-96-7P	226247-98-9P	226248-00-6P	226248-02-8P
	226248-04-0P	226248-06-2P	226248-08-4P	226248-10-8P
	226248-12-0P	226248-14-2P	226248-15-3P	226248-16-4P
	226248-17-5P	226248-18-6P	226248-19-7P	226248-20-0P
	226248-21-1P	226248-22-2P	226248-23-3P	226248-27-7P
	226248-28-8P	226248-29-9P	226248-30-2P	226248-31-3P
	226248-32-4P	226248-33-5P	226248-34-6P	226248-35-7P
	226248-36-8P	226248-37-9P	226248-38-0P	226248-39-1P
	226248-40-4P	226248-41-5P	226248-42-6P	226248-43-7P
	226248-44-8P	226248-45-9P	226248-46-0P	226248-47-1P
	226248-48-2P	226248-49-3P	226248-50-6P	226248-51-7P
	226248-52-8P	226248-53-9P	226248-54-0P	226248-55-1P
	226248-56-2P	226248-57-3P	226248-59-5P	226248-60-8P

226248-61-9P	226248-62-0P	226248-63-1P	226248-64-2P
226248-65-3P	226248-66-4P	226248-67-5P	226248-68-6P
226248-69-7P	226248-70-0P	226248-71-1P	226248-72-2P
226248-73-3P	226248-75-5P	226248-77-7P	226248-78-8P
226248-79-9P	226248-80-2P	226248-81-3P	226248-82-4P
226248-83-5P	226248-84-6P	226248-85-7P	226248-86-8P
226248-87-9P	226248-88-0P	226248-89-1P	226248-90-4P
226248-92-6P	226248-93-7P	226248-94-8P	226248-95-9P
226248-96-0P	226248-97-1P	226249-19-0P	226249-21-4P
226249-22-5P	226249-23-6P	226249-24-7P	226249-87-2P
226385-09-7P	308290-91-7P	308359-87-7P	308360-65-8P
308360-66-9P	308360-67-0P	308360-86-3P	308360-88-5P
308360-90-9P	308360-99-8P	308361-32-2P	308361-43-5P
308361-48-0P	308361-52-6P	308361-62-8P	308361-63-9P
308361-64-0P	308361-80-0P	308361-81-1P	308361-82-2P
308361-83-3P	308361-84-4P	308361-85-5P	308361-86-6P
308361-87-7P	308361-89-9P	308361-90-2P	308361-91-3P
308361-92-4P	308361-93-5P	308361-94-6P	308361-95-7P
308361-96-8P	308361-97-9P	308361-98-0P	308361-99-1P
308362-01-8P	308362-02-9P	308362-26-7P	308362-29-0P
308362-30-3P	308362-31-4P	308362-32-5P	308362-33-6P
308362-34-7P	308362-35-8P	308362-36-9P	308362-37-0P
308362-38-1P	308362-39-2P	308362-40-5P	308362-41-6P
308362-42-7P	308362-43-8P	308362-44-9P	308362-45-0P
308362-46-1P	308362-47-2P	308362-48-3P	308362-49-4P
308362-50-7P	308362-51-8P	308362-52-9P	308362-53-0P
308362-54-1P	308362-55-2P	308362-56-3P	308362-57-4P
308362-58-5P	308362-59-6P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

IT	308362-60-9P	308362-61-0P	308362-62-1P	308362-63-2P
	308362-64-3P	308362-65-4P	308362-66-5P	308362-67-6P
	308362-68-7P	308362-69-8P	308362-70-1P	308362-71-2P
	308362-72-3P	308362-73-4P	308362-74-5P	308363-01-1P
	308792-03-2P	308792-74-7P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

IT	75-65-0, tert-Butyl alcohol, reactions	94-99-5, 2,4-Dichlorobenzyl chloride	98-88-4, Benzoyl chloride	100-10-7, 4-(Dimethylamino)benzaldehyde	100-39-0, Benzyl bromide	103-71-9, Phenyl isocyanate, reactions	104-83-6, 4-Chlorobenzyl chloride	104-87-0, 4-Methylbenzaldehyde	108-24-7, Acetic anhydride	109-85-3, 2-Methoxyethylamine	110-89-4, Piperidine, reactions	122-03-2, 4-Isopropylbenzaldehyde	122-51-0, Triethyl orthoformate	393-82-8, 2,5-Bis(trifluoromethyl)benzoyl chloride	459-46-1, 4-Fluorobenzyl bromide	495-69-2, Hippuric acid	589-15-1, 4-Bromobenzyl bromide	619-84-1, 4-(Dimethylamino)benzoic acid	621-51-2, 3-Ethoxybenzoic acid	621-59-0, 3-Hydroxy-4-methoxybenzaldehyde	635-21-2, 2-Amino-5-chlorobenzoic acid	712-97-0, 4,5-Methylenedioxy-2-nitrobenzaldehyde	785-56-8,
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3,5-Bis(trifluoromethyl)benzoyl chloride 824-42-0,  
 2-Hydroxy-3-methylbenzaldehyde 938-71-6, 4-Chloro-2-nitrobenzyl  
 chloride 947-91-1, 2,2-Diphenylacetaldehyde 1138-80-3  
 1200-14-2, 4-Butylbenzaldehyde 1501-05-9, 4-Benzoylbutyric acid  
 1548-13-6, 4-(Trifluoromethyl)phenyl isocyanate 1592-20-7,  
 4-Vinylbenzyl chloride 1877-72-1, m-Cyanobenzoic acid 1885-14-9,  
 Phenyl chloroformate 2029-94-9, 3,4-Diethoxybenzaldehyde  
 2251-65-2, 3-(Trifluoromethyl)benzoyl chloride 3011-34-5,  
 4-Hydroxy-3-nitrobenzaldehyde 4138-26-5, Nipecotamide 4530-20-5  
 4748-78-1, 4-Ethylbenzaldehyde 5006-62-2, Nipecotic acid ethyl  
 ester 7144-05-0, 4-(Aminomethyl)piperidine 7697-26-9,  
 3-Bromo-4-methylbenzoic acid 17794-48-8 17966-67-5,  
 DL-N-Benzoylleucine 18942-49-9 26386-88-9, Diphenyl phosphoryl  
 azide 27115-49-7, N-(3-Methylbenzoyl)glycine 29022-11-5,  
 Fmoc-Gly-OH 31680-07-6, 4-Methyl-3-nitrobenzaldehyde 32863-31-3  
 35714-20-6, 4-Benzylbenzyl alcohol 41140-53-8, 3,3-Diphenylpropyl  
 methanesulfonate 50541-93-0, 4-Amino-1-benzylpiperidine  
 52606-02-7 54895-12-4 54997-90-9, 4-Isopropylbenzenesulfonyl  
 chloride 74483-45-7, 4-(Trifluoromethylthio)benzyl chloride  
 79636-94-5, 5-Bromo-2-ethoxybenzaldehyde 83506-93-8,  
 2-Amino-4,5-difluorobenzoic acid 85510-82-3, 4-Bromo-2-  
 fluorobenzyl chloride 89795-51-7, 2,1,3-Benzothiadiazole-5-  
 methanol 96449-92-2 99724-19-3, 3-[(tert-  
 Butoxycarbonyl)amino]pyrrolidine 115630-49-4, DL-Prolinamide  
 hydrochloride 141940-29-6 159689-88-0, 3-  
 (Trifluoromethoxy)benzyl bromide 171243-30-4, 3-Fluoro-5-  
 (trifluoromethyl)benzoyl chloride 226250-00-6 226250-01-7  
 226250-03-9 308362-87-0 308362-94-9 308362-99-4 308363-03-3  
 308363-04-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of cyclic amine derivs. as remedies or preventives for  
 diseases in assocn. with chemokines or chemokine receptors)

IT 671-42-1P 16588-34-4P, 4-Chloro-3-nitrobenzaldehyde 60737-12-4P  
 69154-03-6P 144222-22-0P, 4-(Aminomethyl)-1-(tert-  
 butoxycarbonyl)piperidine 169452-11-3P 174561-00-3P  
 215947-44-7P 226248-98-2P 226248-99-3P 226249-00-9P  
 226249-01-0P 226249-02-1P 226249-03-2P 226249-08-7P  
 226249-09-8P 226249-11-2P 226249-12-3P 226249-13-4P  
 226249-14-5P 226249-15-6P 226249-16-7P 226249-17-8P  
 226249-20-3P 226249-26-9P 226249-27-0P 226249-28-1P  
 226249-32-7P 226249-33-8P 226249-35-0P 226249-36-1P  
 226249-38-3P 226249-39-4P 226249-40-7P 226249-41-8P  
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 226249-93-0P 226249-94-1P 226249-95-2P 226249-96-3P  
 226249-98-5P 226249-99-6P 308362-75-6P 308362-76-7P  
 308362-77-8P 308362-78-9P 308362-79-0P 308362-81-4P  
 308362-82-5P 308362-84-7P 308362-87-0DP, reaction product with  
 4-formyl-3-(3-methoxyphenyloxymethyl)polystyrene 308362-89-2P  
 308362-90-5P 308362-91-6P 308362-92-7P 308362-93-8P  
 308362-95-0P 308362-96-1P 308362-97-2P 308362-98-3P

10/006579

308363-00-0P 308363-02-2DP, reaction product with  
4-formyl-3-(3-methoxyphenyloxymethyl)polystyrene  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)

(prepn. of cyclic amine derivs. as remedies or preventives for  
diseases in assocn. with chemokines or chemokine receptors)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE  
IN THE RE FORMAT

L11 ANSWER 7 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 133:89443 MARPAT

TITLE: Quinolinecarboxamides as antiviral agents,  
especially against viruses of the herpes family  
INVENTOR(S): Turner, Steven Ronald; Strohbach, Joseph Walter;  
Thaisrivongs, Suvit; Vaillancourt, Valerie A.;  
Schnute, Mark E.; Tucker, John Alan

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 219 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

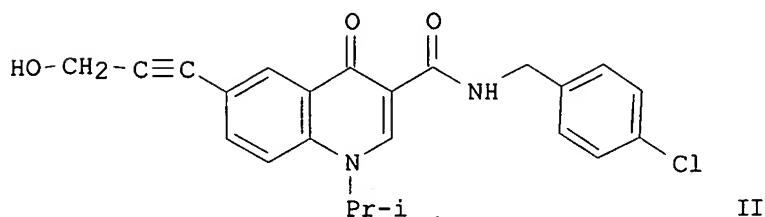
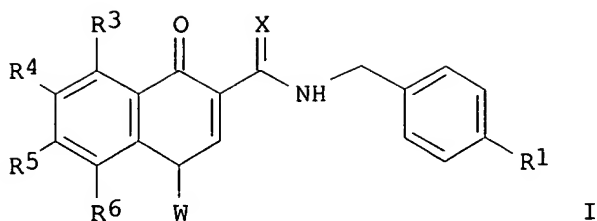
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040561	A1	20000713	WO 1999-US27960	19991222
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6248739	B1	20010619	US 1999-466712	19991217
EP 1140850	A1	20011010	EP 1999-967145	19991222
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
NO 2001003383	A	20010907	NO 2001-3383	20010706
PRIORITY APPLN. INFO.:			US 1999-115301P	19990108
			US 1999-140610P	19990623
			WO 1999-US27960	19991222

GI



- AB The invention provides quinolinecarboxamides I (X = O, S; W = R2, etc., where R1-R6 = a wide variety of defined groups, with 125 examples), e.g., hydroxypropynyl deriv. II, and their pharmaceutically acceptable salts which are useful as antiviral agents, in particular, as agents against viruses of the herpes family. Activities of the compds. against HCMV, HSV, and VZV polymerase are presented. Pharmaceutical compns. comprising compds. I are claimed (no examples).
- IC ICM C07D215-16  
ICS C07D215-18; C07D215-22; C07D215-36; C07D215-38; C07D215-58; C07D215-233; A61K031-47; A61P031-12
- CC 27-17 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1, 63
- ST quinolinecarboxamide prepn antiviral agent; herpes virus  
quinolinecarboxamide antiviral agent
- IT Antiviral agents  
(quinolinecarboxamides as antiviral agents)
- IT Human herpesvirus 4  
(quinolinecarboxamides for treatment of Epstein-Barr virus)
- IT Cytomegalovirus  
(quinolinecarboxamides for treatment of cytomegalovirus)
- IT Human herpesvirus 1  
(quinolinecarboxamides for treatment of herpes simplex virus type 1)
- IT Human herpesvirus 2  
(quinolinecarboxamides for treatment of herpes simplex virus type 2)
- IT Human herpesvirus 6  
(quinolinecarboxamides for treatment of human herpes virus type 6)
- IT Human herpesvirus 7  
(quinolinecarboxamides for treatment of human herpes virus type 7)
- IT Human herpesvirus  
(quinolinecarboxamides for treatment of human herpes viruses)
- IT Human herpesvirus 3

- (quinolinecarboxamides for treatment of varicella zoster virus)
- IT Amides, preparation  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (quinolinecarboxamides; prepn. of quinolinecarboxamides as antiviral agents)
- IT 29943-42-8, Tetrahydro-4H-pyran-4-one  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (conversion to oxazepanone and for prepn. of quinolinecarboxamide derivs.)
- IT 1072-72-6, Tetrahydrothiopyran-4-one  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (conversion to thiazepane)
- IT 77771-02-9, 3-Bromo-4-fluorobenzaldehyde  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (for benzylation of morpholine)
- IT 57-14-7, 1,1-Dimethylhydrazine 75-26-3, 2-Bromopropane 87-13-8, Diethyl ethoxymethylenemalonate 100-11-8, 4-Nitrobenzyl bromide 102-71-6, reactions 104-63-2, N-Benzylethanolamine 104-86-9, 4-Chlorobenzylamine 106-93-4, 1,2-Dibromoethane 107-08-4, 1-Iodopropane 107-19-7, Propargyl alcohol 110-65-6, 1,4-Butynediol 110-73-6 110-77-0, Ethyl 2-hydroxyethyl sulfide 110-91-8, Morpholine, reactions 111-46-6, reactions 111-90-0, 2-Ethoxy-(2-ethoxy)ethanol 112-35-6, Triethyleneglycol monomethyl ether 140-75-0, 4-Fluorobenzylamine 350-46-9, 1-Fluoro-4-nitrobenzene 352-34-1, 4-Fluoriodobenzene 505-10-2, 3-Methylthiopropanol 513-48-4, 2-Iodobutane 540-37-4, 4-Iodoaniline 615-43-0, 2-Iodoaniline 622-08-2, 2-Benzyloxyethanol 628-89-7, 2-(2-Chloroethoxy)ethanol 699-12-7, 2-Hydroxyethyl phenyl sulfide 881-95-8, dl-Metanephrene hydrochloride 927-74-2, 3-Butyn-1-ol 1069-72-3 1445-73-4, N-Methyl-4-piperidone 1479-24-9, Ethyl 3-(2-fluorophenyl)-3-oxopropanoate 2008-75-5, 1-(2-Chloroethyl)piperidine hydrochloride 2213-43-6, 1-Aminopiperidine 2373-51-5, Chloromethyl methyl sulfide 3647-69-6, N-(2-Chloroethyl)morpholine hydrochloride 3970-21-6, 2-Methoxyethoxymethyl chloride 4261-68-1, 2-(Diisopropylamino)ethyl chloride hydrochloride 4319-49-7, 4-Aminomorpholine 4584-46-7, Dimethylaminoethyl chloride hydrochloride 5188-07-8, Sodium thiomethoxide 5292-43-3, tert-Butyl bromoacetate 5407-04-5, 3-Dimethylaminopropyl chloride hydrochloride 5466-88-6, (2H)-1,4-Benzoxazin-3(4H)-one 5472-49-1, N-(3-Chloropropyl)piperidine hydrochloride 6148-64-7, Potassium ethyl malonate 6542-54-7 6589-55-5, .alpha.-(Methylaminomethyl)benzyl alcohol 6928-85-4, 1-Amino-4-methylpiperazine 6972-79-8, 1,3-Dibenzyloxy-2-propanol 7205-90-5, Chloromethyl 4-chlorophenyl sulfide 7205-91-6, Chloromethyl phenyl sulfide 7250-67-1, 1-(2-Chloroethyl)pyrrolidine hydrochloride 10595-09-2, 3,3'-Thiodipropanol 16589-24-5, Synephrine 16596-41-1, 1-Aminopyrrolidine 17201-43-3, 4-(Bromomethyl)benzonitrile 18621-18-6, 3-Azetidinol hydrochloride 21151-56-4, .alpha.,4-Dichloroanisole 26177-44-6, 4-Bromobenzylamine hydrochloride 27374-25-0, [(1-Ethoxycyclopropyl)oxy]trimethylsilane 29632-74-4, 2-Fluoro-4-iodoaniline 31560-06-2 33821-94-2, 2-(3-Bromopropoxy)tetrahydro-2H-pyran 50586-80-6, 2-(2-Methoxyethoxy)ethyl p-toluenesulfonate 54288-69-6, 2-Chloromethyl-1-methylpyrrolidine hydrochloride 58305-05-8 72748-99-3, (R)-1-Amino-2-(methoxymethyl)pyrrolidine 79099-07-3,

1-(tert-Butoxycarbonyl)-4-piperidone 84466-87-5,  
 4-(Azidomethyl)benzonitrile 117924-33-1 121838-84-4  
 132091-42-0 281652-58-2, 2-Chloro-5-iodobenzoyl chloride  
 RL: RCT (Reactant); RACT (Reactant or reagent)

(for prepn. of quinolinecarboxamide derivs.)

IT 2767-70-6P, 4-Nitrobenzyltriphenylphosphonium bromide 5638-60-8P  
 6425-46-3P, 4-(4-Nitrobenzyl)morpholine 10406-25-4P,  
 4-(Aminomethyl)benzonitrile 21987-29-1P, 4,4-Difluoropiperidine  
 51013-67-3P, 4-(4-Aminobenzyl)morpholine 101184-85-4P  
 124700-41-0P, 2-Fluoro-5-iodobenzoic acid 281651-96-5P,  
 N-Cyclopropyl-4-iodoaniline 281652-00-4P 281652-01-5P  
 281652-05-9P 281652-10-6P, tert-Butyl 4,4-difluoro-1-  
 piperidinecarboxylate 281652-11-7P, 4-Fluoro-1,2,3,6-  
 tetrahydropyridine hydrochloride 281652-25-3P,  
 4-(3-Bromo-4-fluorobenzyl)morpholine 281652-26-4P 281652-27-5P  
 281652-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

(for prepn. of quinolinecarboxamide derivs.)

IT 49713-42-0P, Ethyl 4-hydroxy-8-iodo-3-quinolinecarboxylate  
 58287-31-3P 103318-52-1P 188752-88-7P 228725-37-9P  
 228725-72-2P 228725-85-7P 228726-33-8P 228726-41-8P  
 228726-42-9P 228726-59-8P 228726-66-7P 228726-92-9P  
 228726-93-0P 228728-08-3P 228728-23-2P, Ethyl  
 4-hydroxy-6-iodoquinoline-3-carboxylate 228728-41-4P  
 228728-42-5P 281651-90-9P 281651-91-0P 281651-92-1P  
 281651-93-2P 281651-94-3P 281651-95-4P 281651-97-6P  
 281651-98-7P 281651-99-8P 281652-02-6P 281652-03-7P  
 281652-04-8P 281652-06-0P 281652-07-1P 281652-08-2P  
 281652-09-3P 281652-12-8P 281652-13-9P 281652-14-0P  
 281652-15-1P 281652-21-9P 281652-22-0P, 4-(4-  
 Nitrobenzylidene)tetrahydro-2H-pyran 281652-23-1P 281652-24-2P  
 281652-28-6P 281652-29-7P 281652-30-0P 281652-31-1P  
 281652-32-2P 281652-33-3P 281652-34-4P 281652-35-5P  
 281652-36-6P 281652-37-7P 281652-38-8P 281652-39-9P  
 281652-41-3P 281652-42-4P 281652-44-6P 281652-45-7P  
 281652-46-8P 281652-47-9P 281652-48-0P 281652-49-1P  
 281652-50-4P 281652-51-5P 281652-52-6P 281652-53-7P  
 281652-54-8P 281652-55-9P 281652-56-0P 281652-57-1P  
 281652-59-3P 281652-60-6P 281652-61-7P 281652-62-8P  
 281652-63-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

(intermediate, for prepn. of quinolinecarboxamide derivs. as  
 antiviral agents)

IT 281652-16-2P 281652-17-3P 281652-18-4P 281652-19-5P  
 281652-20-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

(intermediate, for prepn. of quinolinethiocarboxamide derivs. as  
 antiviral agents)

IT 10341-26-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

(prepn. and hydride redn. to oxazepane)

IT 2896-98-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

(prepn. and hydride redn. to thiazepane)

IT 281650-73-5P 281650-90-6P 281650-91-7P 281650-93-9P  
 281650-96-2P 281650-97-3P 281651-04-5P 281651-07-8P  
 281651-22-7P 281651-25-0P 281651-26-1P 281651-31-8P  
 281651-38-5P 281651-40-9P 281651-41-0P 281651-48-7P  
 281651-65-8P 281651-70-5P 281651-76-1P 281651-82-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of quinolinecarboxamides as antiviral agents, esp. against herpes virus)

IT 281650-66-6P 281650-67-7P 281650-68-8P 281650-69-9P  
 281650-70-2P 281650-71-3P 281650-72-4P 281650-74-6P  
 281650-75-7P 281650-76-8P 281650-77-9P 281650-78-0P  
 281650-79-1P 281650-80-4P 281650-81-5P 281650-82-6P  
 281650-83-7P 281650-84-8P 281650-85-9P 281650-86-0P  
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 281651-10-3P 281651-11-4P 281651-12-5P 281651-13-6P  
 281651-14-7P 281651-15-8P 281651-16-9P 281651-17-0P  
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 281651-69-2P 281651-71-6P 281651-72-7P 281651-73-8P  
 281651-74-9P 281651-75-0P 281651-77-2P 281651-78-3P  
 281651-79-4P 281651-80-7P 281651-81-8P 281651-83-0P  
 281651-84-1P 281651-85-2P 281651-86-3P 281651-87-4P  
 281651-88-5P 281651-89-6P 281652-43-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolinecarboxamides as antiviral agents, esp. against herpes virus)

IT 281651-00-1P 281651-03-4P 281651-05-6P 281651-06-7P  
 281651-56-7P 281652-64-0P 281652-65-1P 281652-66-2P  
 281652-67-3P 281652-68-4P 281652-69-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolinecarboxamides as antiviral agents, esp. against herpes virus)

IT 603-35-0, Triphenylphosphine, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (quaternization with nitrobenzyl bromide)

IT 78191-00-1, N-Methyl-N-methoxyacetamide  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction with bromofluorobenzylmorpholine)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN

10/006579

THE RE FORMAT

L11 ANSWER 8 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 128:48222 MARPAT

TITLE: Preparation of diiminoimidazoimidazoles as granulocyte colony stimulating factor mimetics.

INVENTOR(S): Luengo, Juan I.; Chan, James A.; Breen, Ann L.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Luengo, Juan I.; Chan, James A.; Breen, Ann L.

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

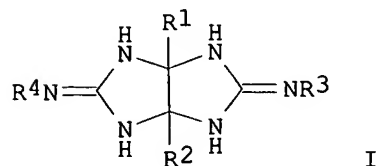
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9744033	A1	19971127	WO 1997-US8864	19970522
W:		AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
RW:		GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG		
AU 9732865	A1	19971209	AU 1997-32865	19970522
AU 722453	B2	20000803		
EP 920314	A1	19990609	EP 1997-928663	19970522
R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI		
CN 1225013	A	19990804	CN 1997-196426	19970522
BR 9709326	A	19990810	BR 1997-9326	19970522
JP 2000512629	T2	20000926	JP 1997-542808	19970522
NO 9805406	A	19981120	NO 1998-5406	19981120
US 5981551	A	19991109	US 1998-194217	19981120
KR 2000015881	A	20000315	KR 1998-709432	19981121
PRIORITY APPLN. INFO.:			US 1996-19542P	19960522
			WO 1997-US8864	19970522

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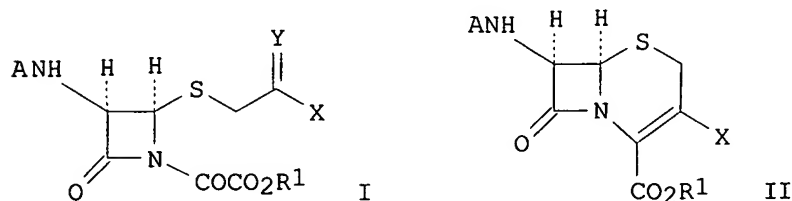
AB Title compds. [I; R1-R4 = (substituted) (polycyclic) (heterocyclic) aryl], were prepd. Thus, 2,2'-pyridil and 2-guanidinobenzimidazole were stirred 4 days in MeOH/aq. NaOH to give 72% I (R1, R2 = 2-pyridyl; R3, R4 = benzimidazol-2-yl). The latter showed

activation above 150% of control between 1-32 .mu.M in a luciferase assay using NFS60 cells.

- IC ICM A61K031-415  
ICS C07D235-00
- CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63
- ST iminoimidazoimidazole prepn Gcsf mimetic; antibacterial  
diiminoimidazoimidazole; antifungal diiminoimidazoimidazole;  
neutropenia treatment diiminoimidazoimidazole
- IT Leukocyte  
(enhancement of leukocyte prodn.; prepn. of  
diiminoimidazoimidazoles as granulocyte colony stimulating factor  
mimetics)
- IT Agranulocytosis  
(neutropenia, treatment; prepn. of diiminoimidazoimidazoles as  
granulocyte colony stimulating factor mimetics)
- IT Antibacterial agents  
Fungicides  
(prepn. of diiminoimidazoimidazoles as granulocyte colony  
stimulating factor mimetics)
- IT 143011-72-7P, Gcsf  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(mimetics; prepn. of diiminoimidazoimidazoles as granulocyte  
colony stimulating factor mimetics)
- IT 199854-53-0P 199854-55-2P 199854-58-5P 199854-60-9P  
199854-62-1P 199854-64-3P 199854-66-5P 199854-67-6P  
199854-68-7P 199854-69-8P 199854-70-1P 199854-71-2P  
199854-72-3P 199854-73-4P 199854-74-5P 199854-75-6P  
199854-76-7P 199854-77-8P 199854-78-9P 199854-80-3P  
199854-82-5P 199854-84-7P 199854-86-9P 199854-88-1P  
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199855-11-3P 199855-13-5P 199855-14-6P 199855-15-7P  
199855-17-9P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(prepn. of diiminoimidazoimidazoles as granulocyte colony  
stimulating factor mimetics)
- IT 134-81-6P, Benzil 492-73-9P, 2,2'-Pyridil 492-94-4P, 2,2'-Furil  
579-39-5P, 4,4'-DifluoroBenzil 2582-07-2P, 2-  
Guanidinobenzothiazole 3457-48-5P, 4,4'-DimethylBenzil  
5418-95-1P, 2-Guanidinobenzimidazole 6630-11-1P 7120-01-6P  
7498-72-8P 13038-85-2P 13474-48-1P 34082-45-6P 35578-47-3P,  
4,4'-Dibromobenzil 35779-40-9P 39123-82-5P, 2-  
Guanidinobenzoxazole 40101-17-5P, 3,3'-DimethoxyBenzil  
41926-59-4P 41927-03-1P 41927-06-4P 43004-91-7P 70590-32-8P  
73790-20-2P, 4,4'-Bis(trifluoromethyl)benzil 100599-91-5P  
175136-87-5P 199853-99-1P 199855-19-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)  
(prepn. of diiminoimidazoimidazoles as granulocyte colony  
stimulating factor mimetics)

L11 ANSWER 9 OF 15 MARPAT COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 127:95142 MARPAT  
 TITLE: Process for the preparation of cephalosporins  
 via reductive dicarbonyl cyclization induced by  
 trialkyl phosphite of 4-thioazetidinone  
 derivatives obtained from penicillins  
 INVENTOR(S): Franceschi, Giovanni; Gargiuolo, Francesco;  
 Orezzi, Piergiuseppe  
 PATENT ASSIGNEE(S): 3 Exo S.R.L., Italy; Franceschi, Giovanni;  
 Gargiuolo, Francesco; Orezzi, Piergiuseppe  
 SOURCE: PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9720848	A1	19970612	WO 1996-EP5449	19961205
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9711912	A1	19970627	AU 1997-11912	19961205
EP 876377	A1	19981111	EP 1996-943044	19961205
R:	CH, DE, ES, FR, GB, IT, LI			
PRIORITY APPLN. INFO.:			IT 1995-MI2571	19951206
			WO 1996-EP5449	19961205
OTHER SOURCE(S):	CASREACT 127:95142			
GI				



AB The reductive cyclization induced by a trialkyl phosphite of .beta.-lactams I (A = protective group of the amino function, R<sub>1</sub> = H, a cation or a protecting group of the carboxyl function, X = H, OH, OR<sub>2</sub>, -O-COR<sub>2</sub>, -OSO<sub>2</sub>R<sub>2</sub>, -S-COR<sub>2</sub>, where R<sub>2</sub> is a hydrocarbon residue contg. 1 to 18 carbon atoms; -NR<sub>3</sub>R<sub>4</sub>, where R<sub>3</sub> and R<sub>4</sub>, together with N, form a heterocycle; -CH<sub>2</sub>OR<sub>5</sub>, where R<sub>5</sub> is a C<sub>1</sub>-C<sub>8</sub> alkyl; -CH<sub>2</sub>-S-Het, where Het is a heterocycle; -CH=CHG, where G is H, a C<sub>1</sub>-C<sub>3</sub> alkyl or a heterocycle Het<sub>20</sub>; Y is = O or S, and X<sub>1</sub> is defined as X<sub>1</sub>, provided that it is different from H and from a halogen) to give to cephalosporins II. Thus, Me

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6.beta.-(triphenylmethylamino)penicillanate was treated with BrCH<sub>2</sub>COSPh and Me<sub>3</sub>COH in THF and Me<sub>3</sub>COH to give 1-(1-methoxycarbonyl-2-methylprop-1-enyl)-4-(phenylthiocarbonylmethylthio)-3-(triphenylmethylamino)azetidine, which underwent ozonolysis followed by reductive cyclization with tri-Et phosphite give Me 7-(triphenylmethylamino)-3-(phenylthio)-3-cephem-4-carboxylate.

IC ICM C07D501-00  
ICS C07D205-095; A61K031-545  
CC 26-5 (Biomolecules and Their Synthetic Analogs)  
ST cephalosporin synthesis; reductive cyclization thioazetidinone  
IT Cyclization  
(reductive; prepn. of cephalosporins via reductive dicarbonyl cyclization induced by trialkyl phosphite of 4-thioazetidinone derivs. obtained from penicillins)  
IT 64919-77-3P 192049-45-9P 192049-46-0P 192049-48-2P  
192049-51-7P 192049-52-8P 192049-54-0P 192049-55-1P  
192049-58-4P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of cephalosporins via reductive dicarbonyl cyclization induced by trialkyl phosphite of 4-thioazetidinone derivs. obtained from penicillins)  
IT 89779-47-5P 192049-47-1P 192049-50-6P 192049-53-9P  
192049-56-2P 192049-57-3P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of cephalosporins via reductive dicarbonyl cyclization induced by trialkyl phosphite of 4-thioazetidinone derivs. obtained from penicillins)  
IT 105-36-2, Ethyl bromoacetate 122-52-1, Triethyl phosphite  
21027-18-9 34103-69-0 53635-52-2 56377-57-2 74503-07-4,  
Allyl oxalyl chloride 81779-73-9, 4-Nitrobenzyl oxalyl chloride  
192049-49-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of cephalosporins via reductive dicarbonyl cyclization induced by trialkyl phosphite of 4-thioazetidinone derivs. obtained from penicillins)

L11 ANSWER 10 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 126:157289 MARPAT  
TITLE: Benzamide derivatives and their use as  
vasopressin antagonists  
INVENTOR(S): Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh,  
Tatsuya; Sawada, Hitoshi; Sato, Kentaro; Tanaka,  
Hirokazu  
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Setoi,  
Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya;  
Sawada, Hitoshi; Sato, Kentaro; Tanaka, Hirokazu  
SOURCE: PCT Int. Appl., 322 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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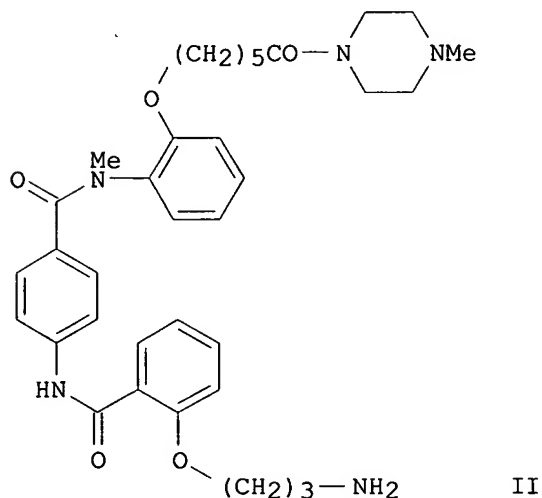
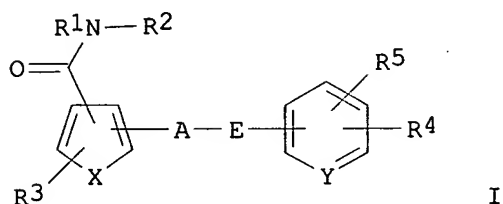
Searcher : Shears 308-4994

10/006579

WO 9641795 A1 19961227 WO 1996-JP1533 19960606  
W: AU, CA, CN, HU, IL, JP, KR, MX, NZ, SG, US, AM, AZ, BY, KG,  
KZ, MD, RU, TJ, TM  
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE  
CA 2223869 AA 19961227 CA 1996-2223869 19960606  
AU 9659110 A1 19970109 AU 1996-59110 19960606  
EP 832061 A1 19980401 EP 1996-916324 19960606  
EP 832061 B1 20010905  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT,  
IE, FI  
CN 1192729 A 19980909 CN 1996-196175 19960606  
JP 11508244 T2 19990721 JP 1996-502896 19960606  
AT 205185 E 20010915 AT 1996-916324 19960606  
ES 2159738 T3 20011016 ES 1996-916324 19960606  
ZA 9604895 A 19961212 ZA 1996-4895 19960607  
US 6054457 A 20000425 US 1997-973103 19971209  
GB 1995-11694 19950609  
WO 1996-JP1533 19960606

PRIORITY APPLN. INFO.:

GI



AB The invention relates to new benzamide derivs. having vasopressin antagonistic activity, and to pharmaceutically acceptable salts thereof, processes for their prepn., and pharmaceutical compns. The compns. are represented by formula I [R1 = (un)substituted aryl, cycloalkyl, heterocyclyl; R2 = H, (un)substituted alkyl, cycloalkyl;

R3 = H, halo, OH, (un)substituted acyloxy, alkyl, (cyclo)alkoxy, NO2, amino, acyl; R4 = OH, halo, NO2, (un)substituted amino, acyloxy, alkoxy, alkylthio, alk(en/yn)yl, etc; R5 = H, alkyl, alkoxy, halo; A = bond, O, NH; E = alkylene, alkenylene, CO, SO2, etc.; X = CH:CH, CH:N, S; Y = CH, N]. Approx. 470 synthetic examples of I and over 100 intermediates are described. For instance, amidation of 2-(PhCH2O)C6H4CO2H with 4-H2NC6H4CONMeC6H4[O(CH2)5CO2Et]-2 (prepn. given), followed by sapon. of the ester, amidation with N-methylpiperazine, hydrogenolytic debenzoylation, etherification with N-(3-bromopropyl)phthalimide, hydrazinolysis of the imide, and acidification, gave title compd. II as the di-HCl salt (III). In assays for binding at human vasopressin V1 receptors and cloned human V2 receptors in vitro, III had IC50 values of 14 and 1400 nM, resp.

- IC ICM C07C237-42
- ICS C07C237-44; A61K031-165; A61K031-33; C07D295-18; C07D295-20; C07D211-58; C07D211-46; C07D213-80; C07D209-48; C07C271-16
- CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1
- ST benzamide prepn vasopressin antagonist; piperazine benzamide  
antihypertensive vasodilator diuretic prepn
- IT Ear  
(Meniere's disease, treatment; prepn. of benzamide derivs. as  
vasopressin antagonists)
- IT Vasopressin receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(V1; prepn. of benzamide derivs. as vasopressin antagonists)
- IT Vasopressin receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(V2; prepn. of benzamide derivs. as vasopressin antagonists)
- IT Hormones, animal, preparation  
RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL  
(Biological study); PREP (Preparation); USES (Uses)  
(antagonists, vasopressin antagonists; prepn. of benzamide  
derivs. as vasopressin antagonists)
- IT Brain, disease  
(cerebrovascular, treatment; prepn. of benzamide derivs. as  
vasopressin antagonists)
- IT Disease, animal  
(circulation disorder, treatment; prepn. of benzamide derivs. as  
vasopressin antagonists)
- IT Circulation  
(disorder, treatment; prepn. of benzamide derivs. as vasopressin  
antagonists)
- IT Heart, disease
- Kidney, disease  
(failure, treatment; prepn. of benzamide derivs. as vasopressin  
antagonists)
- IT Liver  
(inhibition of hepatic saccharide release; prepn. of benzamide  
derivs. as vasopressin antagonists)
- IT Carbohydrates, biological studies  
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL  
(Biological study)  
(inhibition of hepatic saccharide release; prepn. of benzamide  
derivs. as vasopressin antagonists)

IT Kidney  
(mesangium, inhibition of growth; prepn. of benzamide derivs. as  
vasopressin antagonists)

IT Antidiabetic agents  
Antihypertensives  
Cardiovascular agents  
Diuretics  
Platelet aggregation inhibitors  
Vasodilators  
(prepn. of benzamide derivs. as vasopressin antagonists)

IT Ascites  
Cirrhosis  
Edema  
Motion sickness  
(treatment; prepn. of benzamide derivs. as vasopressin  
antagonists)

IT 50-56-6, Oxytocin, biological studies  
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL  
(Biological study)  
(antagonists; prepn. of benzamide derivs. as vasopressin  
antagonists)

IT 7440-09-7, Potassium, biological studies  
RL: ADV (Adverse effect, including toxicity); BIOL (Biological  
study)  
(hypokalemia; treatment; prepn. of benzamide derivs. as  
vasopressin antagonists)

IT 7440-23-5, Sodium, biological studies  
RL: ADV (Adverse effect, including toxicity); BIOL (Biological  
study)  
(hyponatremia; treatment; prepn. of benzamide derivs. as  
vasopressin antagonists)

IT 5832-01-9P 14597-57-0P 38340-87-3P 82614-88-8P 109849-54-9P  
115149-50-3P 183497-46-3P 186662-83-9P 186662-84-0P  
186662-85-1P 186662-86-2P 186662-87-3P 186662-88-4P  
186662-89-5P 186662-90-8P 186662-91-9P 186662-92-0P  
186662-93-1P 186662-94-2P 186662-95-3P 186662-96-4P  
186662-97-5P 186662-98-6P 186662-99-7P 186663-00-3P  
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186663-69-4P 186663-70-7P 186663-71-8P 186663-72-9P  
186663-73-0P 186663-74-1P 186663-75-2P 186663-76-3P  
186663-77-4P 186663-78-5P 186663-79-6P 186663-80-9P  
186663-81-0P 186663-82-1P 186663-83-2P 186663-84-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

(intermediate; prepn. of benzamide derivs. as vasopressin  
 antagonists)

IT	186656-79-1P	186656-89-3P	186656-99-5P	186657-20-5P
	186657-22-7P	186657-25-0P	186657-28-3P	186657-29-4P
	186657-35-2P	186657-39-6P	186657-49-8P	186657-51-2P
	186657-59-0P	186657-64-7P	186657-69-2P	186657-77-2P
	186657-79-4P	186658-09-3P	186658-17-3P	186659-39-2P
	186659-40-5P	186659-97-2P	186660-18-4P	186660-33-3P
	186660-37-7P	186660-97-9P	186661-16-5P	186661-35-8P
	186661-43-8P	186661-45-0P	186661-47-2P	186661-57-4P
	186661-74-5P	186661-80-3P		

RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); RCT (Reactant); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of benzamide derivs. as vasopressin antagonists)

IT	186656-81-5P	186656-83-7P	186656-85-9P	186656-87-1P
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	186657-07-8P	186657-09-0P	186657-11-4P	186657-13-6P
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RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(prepn. of benzamide derivs. as vasopressin antagonists)

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186782-35-4P			

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzamide derivs. as vasopressin antagonists)

IT 11000-17-2, Vasopressin

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(prepn. of benzamide derivs. as vasopressin antagonists)

IT 186667-82-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzamide derivs. as vasopressin antagonists)

IT 90-02-8, reactions 98-59-9, p-Toluenesulfonyl chloride 108-01-0  
109-01-3, 1-Methylpiperazine 124-63-0, Methanesulfonyl chloride  
141-43-5, reactions 142-25-6, N,N,N'-Trimethylethylenediamine  
147-93-3 506-59-2, Dimethylamine hydrochloride 552-89-6,  
2-Nitrobenzaldehyde 824-94-2, 4-Methoxybenzyl chloride 927-74-2,  
3-Butyn-1-ol 1074-82-4, Phthalimide potassium salt 1099-45-2,  
(Carbethoxymethylene)triphenylphosphorane 1253-46-9,  
[[4-(Methoxycarbonyl)phenyl]methyl]triphenylphosphonium bromide  
1694-92-4, 2-Nitrobenzenesulfonyl chloride 2436-29-5 2440-60-0,  
o-Methylisourea 3416-83-9 3958-60-9, o-Nitrobenzyl bromide  
4530-20-5, N-(tert-Butoxycarbonyl)glycine 5003-71-4,  
3-Bromopropylamine hydrobromide 5460-29-7, N-(3-Bromopropyl)phthalimide  
6928-85-4, 1-Amino-4-methylpiperazine  
14389-86-7, 2-Benzyloxybenzoic acid 24424-99-5, Di-tert-butyl  
dicarbonate 24621-61-2, (S)-1,3-Butanediol 25542-62-5, Ethyl  
6-bromohexanoate 28920-43-6, [(9-Fluorenylmethoxy)carbonyl]  
chloride 70264-94-7, Methyl 4-(bromomethyl)-3-methoxybenzoate  
109384-19-2, 1-(tert-Butoxycarbonyl)-4-hydroxypiperidine  
183497-26-9 186663-85-4 186663-86-5 186663-87-6 186663-88-7  
186663-89-8 186663-90-1 186663-91-2 186663-92-3 186663-93-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; prepn. of benzamide derivs. as vasopressin antagonists)

L11 ANSWER 11 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 125:328306 MARPAT

TITLE: Preparation of benzamide derivatives as  
vasopressin antagonists

INVENTOR(S): Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh,

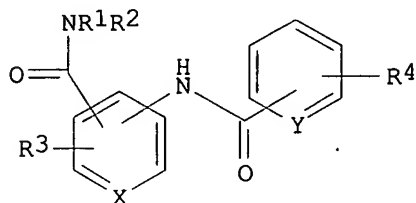
Searcher : Shears 308-4994

10/006579

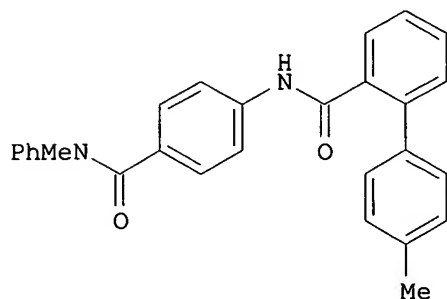
PATENT ASSIGNEE(S): Tatsuya; Hemmi, Keiji; Tanaka, Hirokazu  
 SOURCE: Fujisawa Pharmaceutical Co., Ltd., Japan  
 PCT Int. Appl., 281 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9529152	A1	19951102	WO 1995-JP788	19950421
W: AU, CA, CN, JP, KR, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9522674	A1	19951116	AU 1995-22674	19950421
EP 757670	A1	19970212	EP 1995-916028	19950421
EP 757670	B1	19990113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09512528	T2	19971216	JP 1995-527525	19950421
AT 175661	E	19990115	AT 1995-916028	19950421
ES 2127524	T3	19990416	ES 1995-916028	19950421
US 6211242	B1	20010403	US 1998-722243	19980130
PRIORITY APPLN. INFO.:			GB 1994-8185	19940425
			WO 1995-JP788	19950421

GI



I



II

AB Title compds. [I; (cyclo)alkyl, aryl, heterocyclyl, etc.; R2 = (cyclo)alkyl, arylalkyl, etc.; R3 = H, halo, alkyl, alkoxy, etc.; R4 = alkyl, (un)substituted aryl; X, Y = CH or N] were prepd. Thus, PhNHMe was amidated by 4-(O2N)C6H4COCl and the reduced product amidated by 4-MeC6H4C6H4(CO2H)-2 to give title compd. II. Data for in vitro vasopressin antagonism by I were given.

Searcher : Shears 308-4994

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IC ICM C07C237-42  
ICS C07D213-81; C07D213-82; A61K031-165; A61K031-44  
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1  
ST benzamide deriv prepn vasopressin antagonist  
IT 11000-17-2, Vasopressin  
RL: BPR (Biological process); BSU (Biological study, unclassified);  
BIOL (Biological study); PROC (Process)  
(mediated disorders; treatment; prepn. of benzamide derivs. as  
vasopressin antagonists)  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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      (prepn. of benzamide derivs. as vasopressin antagonists)

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	183495-46-7P	183495-47-8P	183495-48-9P	183495-49-0P
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	183495-54-7P	183495-55-8P	183495-56-9P	183495-57-0P
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	183495-62-7P	183495-63-8P	183495-64-9P	183495-65-0P
	183495-66-1P	183495-68-3P	183495-70-7P	183495-71-8P
	183495-72-9P	183495-73-0P	183495-74-1P	183495-75-2P
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	183495-87-6P	183495-88-7P	183495-89-8P	183495-90-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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(prepn. of benzamide derivs. as vasopressin antagonists)
```

IT 75-65-0, reactions 95-53-4, 2-Methylaniline, reactions 100-39-0, Benzyl bromide 100-60-7, N-Methylcyclohexylamine 100-61-8, N-Methylaniline, reactions 104-94-9, p-Anisidine 105-36-2, Ethyl bromoacetate 109-01-3, 1-Methylpiperazine 120-92-3, Cyclopentanone 122-04-3, p-Nitrobenzoyl chloride 137-07-5, 2-Aminothiophenol 611-21-2, N-Methyl-o-toluidine 933-88-0, o-Toluoyl chloride 1074-82-4, Potassium phthalimide 1885-14-9, Phenyl chloroformate 2835-98-5, 6-Amino-m-cresol 6294-17-3, 1-Bromo-6-chlorohexane 7148-03-0, 4'-Methylbiphenyl-2-carboxylic acid 17814-85-6, (4-Carboxybutyl)triphenylphosphonium bromide 25542-62-5, Ethyl 6-bromohexanoate 29943-42-8, Tetrahydro-4H-pyran-4-one 57479-7i-7, 2-Benzyloxy-4-chlorobenzoic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of benzamide derivs. as vasopressin antagonists)

IT 784-94-1P 961-61-5P, N-Methyl-N-phenyl-4-nitrobenzamide  
 1092-52-0P 1742-15-0P, N-(2-Acetylphenyl)-4-nitrobenzamide  
 2585-28-6P, N-(2-Chlorophenyl)-4-nitrobenzamide 2585-29-7P,  
 N-(3-Chlorophenyl)-4-nitrobenzamide 2585-30-0P,  
 N-(4-Chlorophenyl)-4-nitrobenzamide 3416-83-9P 3623-89-0P  
 5405-13-0P, N-Benzyl-2-methylaniline 7498-40-0P,  
 N-(2-Pyridyl)-4-nitrobenzamide 13313-18-3P, N-(3-Pyridyl)-4-  
 nitrobenzamide 17517-17-8P, N-Benzyl-N-(2-Methylphenyl)-4-  
 nitrobenzamide 24730-11-8P, N-(4-Methoxyphenyl)-4-nitrobenzamide  
 36855-81-9P, N-(2-Methylphenyl)-4-nitrobenzamide 38909-96-5P,  
 N-Ethyl-N-phenyl-4-nitrobenzamide 64594-44-1P,  
 N-(2,6-Dimethylphenyl)-4-nitrobenzamide 65270-05-5P,  
 N-Ethyl-N-Phenyl-4-aminobenzamide 66809-90-3P 91099-19-3P,  
 N-(3-Methoxyphenyl)-4-nitrobenzamide 96830-01-2P,  
 N-Methyl-N-(2-pyridyl)-4-nitrobenzamide 99642-26-9P 107480-72-8P  
 109691-95-4P, N-(2-Biphenyl)-4-nitrobenzamide 110648-14-1P,  
 N-(2-Methylphenyl)-3-methyl-4-nitrobenzamide 112290-16-1P  
 168151-96-0P 169945-49-7P, N-(2-Trifluoromethylphenyl)-4-  
 nitrobenzamide 183495-91-2P 183495-92-3P, N-Methyl-N-(4-  
 methylphenyl)-4-nitrobenzamide 183495-93-4P 183495-94-5P  
 183495-95-6P, N-Phenyl-2-isopropyl-4-nitrobenzamide 183495-96-7P  
 183495-97-8P 183495-98-9P 183496-00-6P, N-Ethyl-N-(3-Pentyl)-4-  
 nitrobenzamide 183496-02-8P 183496-03-9P 183496-04-0P,  
 N-Methyl-N-(2-cyanophenyl)-4-nitrobenzamide 183496-05-1P  
 183496-06-2P 183496-07-3P 183496-08-4P 183496-09-5P  
 183496-10-8P 183496-11-9P 183496-12-0P 183496-13-1P  
 183496-14-2P 183496-15-3P 183496-16-4P 183496-17-5P  
 183496-18-6P 183496-19-7P 183496-20-0P 183496-22-2P,  
 N-(2-Methylphenyl)-3-methoxy-4-nitrobenzamide 183496-23-3P  
 183496-24-4P 183496-25-5P 183496-26-6P, N-Methyl-N-(2-  
 acetylphenyl)-4-nitrobenzamide 183496-27-7P, N-Methyl-N-(2-  
 Chlorophenyl)-4-nitrobenzamide 183496-28-8P 183496-29-9P  
 183496-30-2P 183496-31-3P 183496-32-4P 183496-33-5P,  
 N-Methyl-N-(2-pyridyl)-4-aminobenzamide 183496-34-6P,  
 N-Methyl-N-(2-Chlorophenyl)-4-aminobenzamide 183496-35-7P,  
 N-Methyl-N-(4-methylphenyl)-4-aminobenzamide 183496-36-8P  
 183496-37-9P 183496-38-0P 183496-39-1P 183496-40-4P  
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 183496-90-4P 183496-91-5P 183496-92-6P 183496-94-8P  
 183496-95-9P, N-Cyclopentyl-4-methoxyaniline 183496-97-1P  
 183496-99-3P 183497-00-9P 183497-01-0P 183497-02-1P  
 183497-03-2P 183497-04-3P 183497-05-4P 183497-06-5P  
 183497-07-6P 183497-08-7P 183497-09-8P 183497-10-1P  
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 183497-15-6P 183497-16-7P 183497-17-8P 183497-18-9P

10/006579

183497-19-0P 183497-20-3P 183497-21-4P 183497-22-5P  
183497-23-6P 183497-24-7P 183497-25-8P 183497-26-9P  
183497-27-0P 183497-28-1P 183497-29-2P 183497-30-5P  
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183497-51-0P 183497-52-1P 183497-54-3P 183497-55-4P,  
2-Benzyloxy-4-chloro-N-methylaniline 183497-56-5P 183497-57-6P  
183497-58-7P 183497-59-8P 183497-60-1P 183497-61-2P  
183497-62-3P 183497-63-4P 183497-64-5P 183497-65-6P  
183497-66-7P

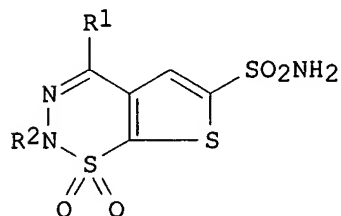
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)  
(prepn. of benzamide derivs. as vasopressin antagonists)

L11 ANSWER 12 OF 15 MARPAT COPYRIGHT 2002 ACS  
(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 124:176158 MARPAT  
TITLE: Preparation of thienothiadiazinesulfonamides as  
carbonic anhydrase inhibitors  
INVENTOR(S): Dean, Thomas R.; Namil, Abdelmoula  
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA  
SOURCE: U.S., 9 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5464831	A	19951107	US 1994-303900	19940909

OTHER SOURCE(S): CASREACT 124:176158  
GI



I

AB Title compds. I [R<sub>1</sub> = H, (substituted) C1-6 alkyl, C1-4 alkoxy, etc.; R<sub>2</sub> = H, (substituted) C1-8 alkyl, C1-4 alkoxy, etc.], useful in reducing the intraocular pressure, were prepd. and formulated. Treatment of I (R<sub>1</sub> = Me; R<sub>2</sub> = H) with NaH in DMF followed by addn. of N-(2-chloroethyl)morpholine HCl afforded 71% compd. I [R<sub>1</sub> = Me; R<sub>2</sub> = 2-morpholinoethyl] which was formulated in topical ophthalmic gel and suspension at 1 wt.% and 2 wt.%, resp.

IC ICM C07D513-04  
ICS A61K031-54

Searcher : Shears 308-4994

10/006579

NCL 514222800  
CC 28-20 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63  
ST thienothiadiazinesulfonamide prepn; carbonic anhydrase inhibitor  
thienothiadiazinesulfonamide prepn; intraocular hypertension  
thienothiadiazinesulfonamide prepn; glaucoma  
thienothiadiazinesulfonamide prepn; alkylation  
thienothiadiazinesulfonamide chloroethylmorpholine hydrochloride  
IT Alkylation  
Glaucoma (disease)  
(prepn. of thienothiadiazinesulfonamides as carbonic anhydrase  
inhibitors)  
IT 173772-91-3P 173772-92-4P 173772-93-5P 173772-94-6P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(prepn. of thienothiadiazinesulfonamides as carbonic anhydrase  
inhibitors)  
IT 9001-03-0, Carbonic anhydrase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(prepn. of thienothiadiazinesulfonamides as carbonic anhydrase  
inhibitors)  
IT 78-77-3 3647-69-6 13250-82-3 160982-09-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of thienothiadiazinesulfonamides as carbonic anhydrase  
inhibitors)  
IT 103011-38-7P 173772-95-7P 173772-96-8P 173772-97-9P  
173772-98-0P 173772-99-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)  
(prepn. of thienothiadiazinesulfonamides as carbonic anhydrase  
inhibitors)

L11 ANSWER 13 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 123:198800 MARPAT

TITLE: Preparation of [(azacyclomethyl)heterocyclyl]alk  
anoates and analogs as angiotensin II receptor  
antagonists

INVENTOR(S): Carpino, Philip A.; Larson, Eric R.; Mylari,  
Banavara L.

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

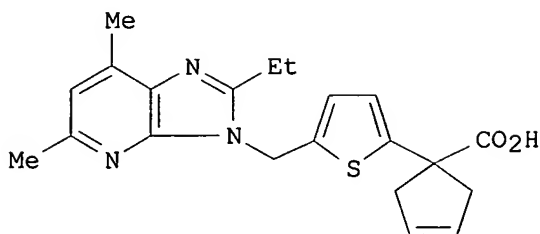
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9502596	A1	19950126	WO 1994-IB187	19940701
W:	AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, KZ, LV, NO, NZ, PL, RO, RU, SK, UA, US, UZ			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9469794	A1	19950213	AU 1994-69794	19940701

Searcher : Shears 308-4994

10/006579

FI 9403359	A	19950116	FI 1994-3359	19940714
BR 9500208	A	19970114	BR 1995-208	19950113
US 5789415	A	19980804	US 1996-569133	19960111
PRIORITY APPLN. INFO.:			US 1993-92349	19930715
			WO 1994-IB187	19940701

GI



II

AB RCH<sub>2</sub>ZCR<sub>1</sub>R<sub>2</sub>R<sub>3</sub> [I; R = azacycyl group; R<sub>1</sub>,R<sub>2</sub> = H, OH, alkyl, Ph, etc.; R<sub>1</sub>R<sub>2</sub> = atoms to complete a (heterocyclic) ring; R<sub>3</sub> = CHO, CO<sub>2</sub>H, CH<sub>2</sub>OH, tetrazolyl, etc.; Z = naphthylene, heterocyclylene, etc.] were prepd. Thus, Et 2-thienylacetate was cyclocondensed with cis-ClCH<sub>2</sub>CH:CHCH<sub>2</sub>Cl and the product formylated to give, in 2 addnl. steps, Et 1-(5-chloromethyl-2-thienyl)cyclopent-3-enecarboxylate which was condensed with 2-ethyl-5,7-dimethylimidazo[4,5-b]pyridine to give, after sapon., title compd. II. I had IC<sub>50</sub> of .1toreq.10-5M against SARILE AII binding at rat liver prepn. in vitro.

IC ICM C07D471-04

ICS A61K031-415; C07D409-06; C07D401-06; C07D407-06; C07D405-06; C07D405-14

ICI C07D471-04, C07D235-00, C07D221-00

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

ST azacyclomethylheterocyclylalkanoate prepn angiotensin II receptor antagonist; antihypertensive azacyclomethylheterocyclylalkanoate prepn

IT Antihypertensives

(prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

IT Glaucoma (disease)

Kidney, disease

(treatment; prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

IT Receptors

RL: BPR (Biological process); BSU (Biological study, unclassified);

BIOL (Biological study); PROC (Process)

(angiotensin II, prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

IT Mental disorder

(cognitive, treatment; prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

IT Heart, disease

(failure, treatment; prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

IT 167984-50-1P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

IT	167984-48-7P	167984-49-8P	167984-51-2P	167984-52-3P
	167984-53-4P	167984-54-5P	167984-56-7P	167984-57-8P
	167984-58-9P	167984-59-0P	167984-60-3P	167984-61-4P
	167984-62-5P	167984-63-6P	167984-64-7P	167984-65-8P
	167984-66-9P	167984-67-0P	167984-68-1P	167984-69-2P
	167984-70-5P	167984-71-6P	167984-72-7P	167984-73-8P
	167984-74-9P	167984-75-0P		

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

IT	110-56-5, 1,4-Dichlorobutane	111-44-4, 1-Chloro-2-(2-chloroethoxy)ethane	553-86-6, 3H-Benzofuran-2-one	589-93-5, 2,5-Lutidine	612-12-4, .alpha.,.alpha.'-Dichloro-o-xylene
	628-76-2, 1,5-Dichloropentane	1476-11-5, cis-1,4-Dichloro-2-butene	1530-45-6, (Ethoxycarbonylmethyl)triphenylphosphonium bromide	5156-83-2, 1-(6-Methyl-2-naphthyl)ethanone	5472-38-8, Diethyl formylsuccinate
	6340-91-6, Propylhydrazine oxalate	40711-41-9, Butylhydrazine oxalate	57382-97-5, Ethyl 2-thienylacetate	124750-49-8	133240-06-9, 2-Ethyl-5,7-dimethylimidazo[4,5-b]pyridine
	134603-88-6	135070-89-2, 2-Propyl-5,7-dimethylimidazo[4,5-b]pyridine	135070-90-5, 2-Cyclopropyl-5,7-dimethylimidazo[4,5-b]pyridine	136540-89-1	138733-41-2, Ethyl 2-methoxyimino-4-oxooctanoate
	167984-55-6	167985-34-4	167985-35-5, 2,2,2-Trifluoroethylhydrazine oxalate	167985-36-6	

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

IT	5552-82-9P	21823-22-3P	54634-97-8P	54892-92-1P	150714-53-7P
	167984-76-1P	167984-77-2P	167984-78-3P	167984-79-4P	
	167984-80-7P	167984-81-8P	167984-82-9P	167984-83-0P	
	167984-84-1P	167984-85-2P	167984-86-3P	167984-87-4P	
	167984-88-5P	167984-89-6P	167984-90-9P	167984-91-0P	
	167984-92-1P	167984-93-2P	167984-94-3P	167984-95-4P	
	167984-96-5P	167984-97-6P	167984-98-7P	167984-99-8P	
	167985-00-4P	167985-01-5P	167985-02-6P	167985-03-7P	
	167985-04-8P	167985-05-9P	167985-06-0P	167985-07-1P	
	167985-08-2P	167985-09-3P	167985-10-6P	167985-11-7P	
	167985-12-8P	167985-13-9P	167985-14-0P	167985-15-1P	
	167985-16-2P	167985-17-3P	167985-18-4P	167985-19-5P	167985-20-8P
	167985-21-9P	167985-22-0P	167985-23-1P	167985-24-2P	
	167985-25-3P	167985-26-4P	167985-27-5P	167985-28-6P	
	167985-29-7P	167985-30-0P	167985-31-1P	167985-32-2P	
	167985-33-3P				

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

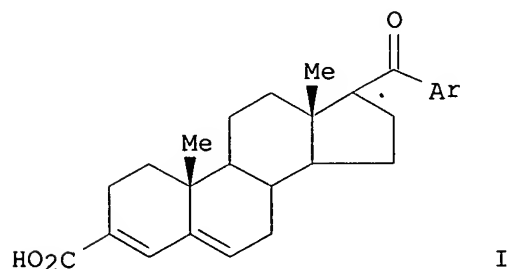
(prepn. of [(azacyclomethyl)heterocyclyl]alkanoates and analogs as angiotensin II receptor antagonists)

10/006579

ACCESSION NUMBER: 121:205797 MARPAT  
 TITLE: Preparation and formulation of  
 17-acylandrosta-3,5-diene-3-carboxylates as  
 steroid 5.alpha.-reductase inhibitors  
 INVENTOR(S): Holt, Dennis Alan; Levy, Mark Alan  
 PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA  
 SOURCE: PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9411386	A1	19940526	WO 1993-US11241	19931118
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9308538	A	19940913	ZA 1993-8538	19931116
ZA 9308540	A	19940913	ZA 1993-8540	19931116
CA 2149427	AA	19940526	CA 1993-2149427	19931118
AU 9456717	A1	19940608	AU 1994-56717	19931118
CN 1101914	A	19950426	CN 1993-114775	19931118
CN 1101916	A	19950426	CN 1993-121434	19931118
EP 669932	A1	19950906	EP 1994-902307	19931118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08503474	T2	19960416	JP 1993-512507	19931118
US 5641765	A	19970624	US 1995-436240	19950517
US 5641877	A	19970624	US 1995-453865	19950530
PRIORITY APPLN. INFO.:			GB 1992-24213	19921118
			GB 1993-16954	19930814
			WO 1993-US11241	19931118
			US 1995-436240	19950517

GI



AB Title compds. [I; A = (satd.) hydrocarbylene; R = substituted alkyl, (un)substituted cycloalkyl, -heterocyclyl, -(hetero)aryl] were prepd. Thus, androst-4-en-3-one-17.beta.-carboxylic acid was converted in 4 steps to 17.beta.-(phenethylcarbonyl)androsta-3,5-

Searcher : Shears 308-4994

10/006579

diene-3-carboxylic acid. I had  $K_i$  of 2-85 and 0.2-7nM against isoenzyme 1 and 2 of steroid 5.alpha.-reductase, resp.

IC ICM C07J003-00  
ICS C07J005-00; C07J007-00; C07J009-00; C07J015-00; C07J017-00;  
C07J033-00; C07J041-00; C07J043-00; C07J075-00  
CC 32-4 (Steroids)  
Section cross-reference(s): 1, 63  
ST acylandrosta dienecarboxylate prepn steroid reductase inhibitor  
IT Prostate gland  
(disease, prostatitis, treatment of,  
acylandrostadienecarboxylates for)  
IT Alopecia  
(male pattern, treatment of, acylandrosta dienecarboxylates for)  
IT Prostate gland  
(neoplasm, adenocarcinoma, treatment of,  
acylandrostadienecarboxylates for)  
IT 9081-34-9, 5.alpha.-Steroid reductase  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(inhibitors of, acylandrosta dienecarboxylates as)  
IT 139755-35-4P 139755-36-5P 146175-29-3P 156699-24-0P  
156699-29-5P 156699-30-8P 156699-33-1P 157977-50-9P  
157977-51-0P 157977-52-1P 157977-53-2P 157977-54-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
RACT (Reactant or reagent)  
(prepn. and reaction of, in prepn. of steroid 5.alpha.-reductase  
inhibitor)  
IT 156699-35-3P 157977-40-7P 157977-41-8P 157977-42-9P  
157977-43-0P 157977-44-1P 157977-45-2P 157977-46-3P  
157977-47-4P 157977-48-5P 157977-49-6P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); BIOL  
(Biological study); PREP (Preparation)  
(prepn. of, as steroid 5.alpha.-reductase inhibitor)  
IT 302-97-6, Androst-4-en-3-one-17.beta.-carboxylic acid 1462-75-5,  
3-Phenylpropylmagnesium bromide 2127-03-9, 2,2'-Dipyridyl  
disulfide 3277-89-2, Phenethylmagnesium bromide 6921-34-2,  
Benzylmagnesium chloride 35166-78-0, Cyclohexylmethylmagnesium  
bromide 36278-54-3, 2-(4-Methoxyphenyl)ethylmagnesium bromide  
55766-17-1, 2-Cyclohexylethylmagnesium bromide 119169-78-7  
126201-52-3 157977-55-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in prepn. of steroid 5.alpha.-reductase inhibitor)

L11 ANSWER 15 OF 15 MARPAT COPYRIGHT 2002 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 116:13416 MARPAT

TITLE: Pressure- and heat-sensitive recording materials  
with good sensitivity, storability and image  
stability

INVENTOR(S): Sano, Masajiro; Takashima, Masanobu; Satomura,  
Masato

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

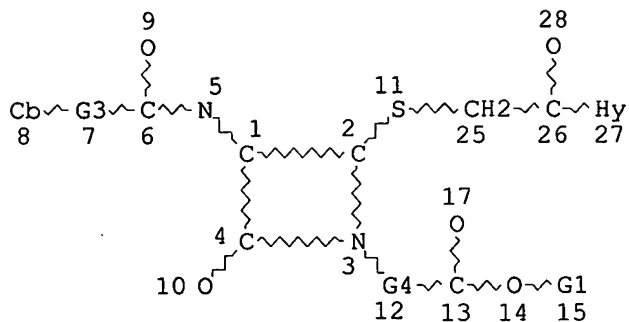
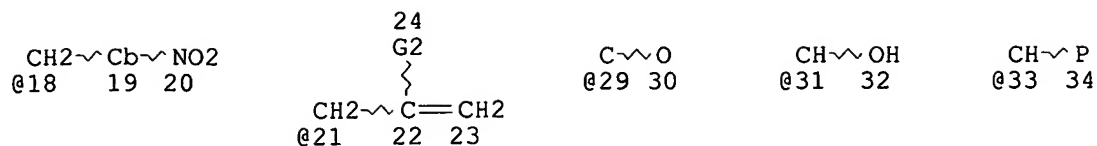
PATENT INFORMATION:

Searcher : Shears 308-4994

10/006579

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 03142277	A2	19910618	JP 1989-282319	19891030
AB	The title materials utilizes coloration by contact between electron-donating leuco dye Ar1R1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-contg. aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-contg. alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without contg. heteroatom) and electron-accepting compd.				
IC	ICM B41M005-136				
CC	74-7 (Radiation Chemistry, Photochemistry, and Photographic and Other Reprographic Processes)				
ST	polymethine color former recording material; pressure sensitive recording material; heat sensitive recording material				
IT	Dyes, cyanine (color formers, in heat- and pressure-sensitive recording materials with good sensitivity, storability and image stability)				
IT	Copying paper (pressure-sensitive, polymethine color formers for, with good sensitivity, storability and image stability)				
IT	Recording materials (thermal, polymethine color formers for, with good sensitivity, storability and image stability)				
IT	89331-94-2, 2-Anilino-3-methyl-6-(dibutylamino)fluoran 97628-33-6 118063-66-4 137892-10-5 RL: USES (Uses) (color formers contg. polymethines and, for heat- and pressure-sensitive recording materials)				
IT	137759-32-1 137759-33-2 137759-34-3 RL: USES (Uses) (color formers, in heat- and pressure-sensitive recording materials with good sensitivity, storability and image stability)				
IT	100-51-6, Benzyl alcohol, uses 118816-17-4 RL: USES (Uses) (in color former manuf., for heat- and pressure-sensitive recording materials)				
L4	FILE 'MARPATPREV' ENTERED AT 15:00:21 ON 03 OCT 2002 STR				

10/006579



VAR G1=18/21

VAR G2=H/CH2

REP G3=(1-6) C

VAR G4=29/31/33

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 8

GGCAT IS UNS AT 19

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E4 C E1 O AT 27

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L12 0 SEA FILE=MARPATPREV SSS FUL L4 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 4 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FILE 'HOME' ENTERED AT 15:00:40 ON 03 OCT 2002